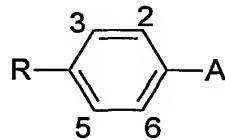


locus is the soil, e.g., soil in which agricultural crops have been or will be planted, the composition of the active compound may be applied to and optionally incorporated into the soil. For most applications the effective amount may be as low as, e.g. about 10 to 500 g/ha, preferably about 100 to 250 g/ha.

5 In a further embodiment of the present invention, several of the compounds disclosed above have themselves been found to be novel and useful intermediates in the preparation of the 1,4-disubstituted benzene insecticides disclosed and claimed herein.

Included among these intermediates are those compounds having the
10 formula **XIII**:



wherein:

A is $-(CH_2)_n-U-R^2$

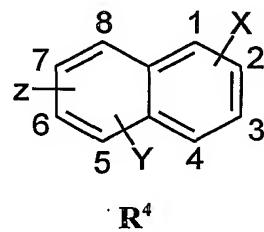
15 wherein

n is 0 or 1;

U is $-C(O)-$, $-CH_2-$, oxygen, or $-NR^5$, where R^5 is selected from the group consisting of hydrogen, hydroxy, alkyl, sulfonylalkyl, carbonylamino, and carbonylalkyl;

20 R^2 is selected from hydrogen, halo, hydroxy, and $1-R^4$, wherein:

R^4 is



25 where X, Y, and Z are independently selected from the group consisting of hydrogen, halogen, cyano, nitro, amino, azido,

carboxyl, alkyl, alkynyl, haloalkyl, haloalkylthio, nitrilyl, alkenyl, alkoxy, haloalkoxy, carbonyl, alkylcarbonyl, haloalkylcarbonyl, alkoxycarbonyl, haloalkoxycarbonyl, phenyl, aryl, and aryloxy, where the phenyl and aryl moieties may be optionally substituted with halogen, haloalkyl, haloalkyl, alkoxy, or haloalkoxy;

5

R is -T-(CH₂)_m-R¹, where

T is selected from the group consisting of oxygen, nitrogen, and sulfur;

m is 0, 1, 2, 3, or 4;

10 R¹ is hydrogen, halo, alkyl, or -N(R⁸)(R⁹); where R⁸ and R⁹ are independently selected from the group consisting of hydrogen, alkyl, acetyl, alkoxycarbonyl, alkoxyalkyl, aminoalkyl, carbonylamino, and -(CH₂)_p-N(R¹⁶)(R¹⁷), where

p is 1 or 2;

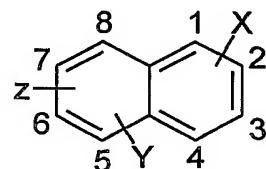
15 R¹⁶ and R¹⁷ are independently selected from the group consisting of hydrogen, alkyl, alkoxyalkyl, and aminoalkyl.

Some preferred intermediates of formula XII are those in which:

n is 1; U is oxygen; R² is 1-R⁴, wherein:

R⁴ is

20



R⁴

25

where X, Y, and Z are independently selected from the group consisting of hydrogen, halogen, cyano, nitro, amino, azido, carboxyl, alkyl, alkynyl, haloalkyl, haloalkylthio, nitrilyl, alkenyl, alkoxy, haloalkoxy, carbonyl, alkylcarbonyl, haloalkylcarbonyl, alkoxycarbonyl, haloalkoxycarbonyl, phenyl, aryl, and aryloxy, where the phenyl and aryl moieties may be optionally substituted with halogen, haloalkyl, haloalkyl, alkoxy, or haloalkoxy;

T is oxygen or sulfur;

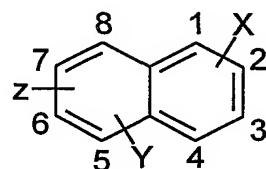
m is 2; and

R¹ is halo;

Additional preferred intermediates of formula **XII** are those in which n is 1;

5 U is -CH₂-; R² is 1-R⁴, wherein:

R⁴ is



R⁴

10 where X, Y, and Z are independently selected from the group consisting of hydrogen, halogen, cyano, nitro, amino, azido, carboxyl, alkyl, alkynyl, haloalkyl, haloalkylthio, nitrilyl, alkenyl, alkoxy, haloalkoxy, carbonyl, alkylcarbonyl, haloalkylcarbonyl, alkoxycarbonyl, haloalkoxycarbonyl, phenyl, aryl, and aryloxy, where the phenyl and aryl moieties may be optionally substituted with halogen, haloalkyl, haloalkyl, alkoxy, or haloalkoxy;

15

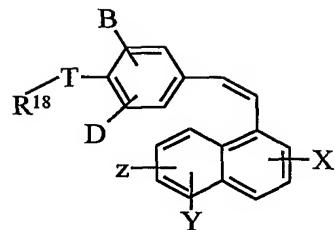
T is oxygen;

m is 0; and

R¹ is hydrogen or alkyl.

20 Preferred intermediates of formula **XII** also include those compounds in which n is 0; U is -C(O); R² is hydrogen; T is oxygen; m is 2; and R¹ is -N(R⁸)(R⁹), where R⁸ and R⁹ are alkyl as well as those in which n is 0; U is -CH₂-; R² is halo or hydroxy; T is oxygen; m is 2; and R¹ is -N(R⁸)(R⁹); where R⁸ and R⁹ are alkyl.

25 In addition to the compounds set forth above, compounds of formula UU, described generally in Schema 3 above and in greater detail below, have also been found to be novel and useful intermediates in the preparation of the 1,4-disubstituted benzene insecticides disclosed and claimed herein:



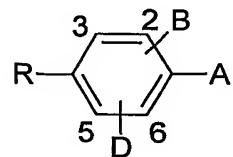
UU

where X, Y, and Z are independently selected from the group consisting of hydrogen, halogen, cyano, nitro, amino, azido, carboxyl, alkyl, alkynyl, haloalkyl,
5 haloalkylthio, nitrilyl, alkenyl, alkoxy, haloalkoxy, carbonyl, alkylcarbonyl,
haloalkylcarbonyl, alkoxycarbonyl, haloalkoxycarbonyl, phenyl, aryl, and aryloxy,
where the phenyl and aryl moieties may be optionally substituted with halogen,
haloalkyl, haloalkyl, alkoxy, or haloalkoxy; T is selected from the group consisting
of oxygen, nitrogen, and sulfur; and R¹⁸ is alkyl.

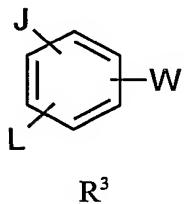
10

While the invention has been described in detail and with reference to specific embodiments thereof, it will be apparent to one skilled in the art that various changes and modifications can be made therein without departing from the spirit and scope thereof.

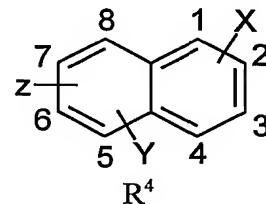
Table 1
Insecticidal Optionally Substituted Benzenes

**Formula I (F1)**

-T-(CH₂)_m-R¹
Formula II (FII)

R³

-(CH₂)_n-U-R²
Formula III (FIII)

R⁴**Formula I**

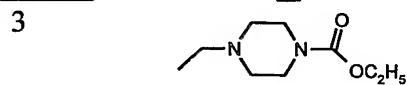
10 A and D are H; R is FII; T is O; m is 2; R¹ is N(C₂H₅)₂

Cmpnd No.	B	n	U	R ²	X	Y	Z
1	2-FIII	1	N	1-R ⁴	4-Cl	H	H
2	3-FIII	1	N	1-R ⁴	4-Cl	H	H

Formula I

B and D are H; R is FII; T is O; m is 2; R¹ is N(C₂H₅)₂

Cmpnd No.	A
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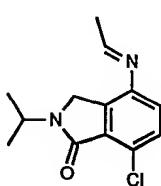


3



4

5



70
Table 1 (continued)

Formula IB and D are H; R is FII; T is O; m is 2; R¹ is N(C₂H₅)₂

<u>Cmpnd No.</u>	<u>A</u>
6	
7	

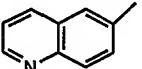
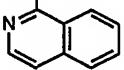
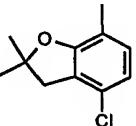
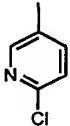
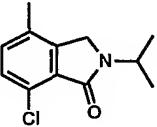
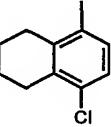
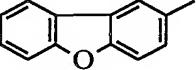
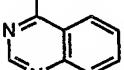
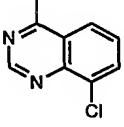
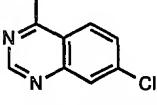
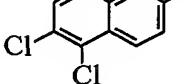
Formula IA is FIII; B and D are H; R is FII; T is O; m is 2; R¹ is N(C₂H₅)₂; n is 1

<u>Cmpnd No.</u>	<u>U</u>	<u>R²</u>
8	O	
9	O	
10	O	
11	O	
12	O	
13	N	
14	N	

71
Table 1 (continued)

Formula I

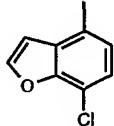
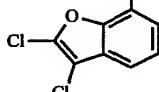
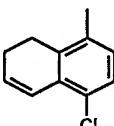
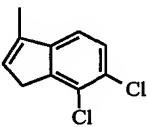
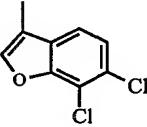
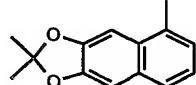
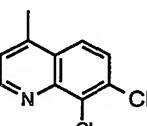
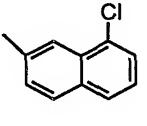
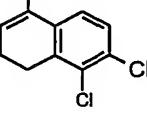
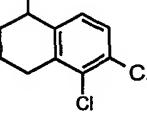
A is FIII; B and D are H; R is FII; T is O; m is 2; R¹ is N(C₂H₅)₂; n is 1

Cmpnd No.	U	R ²
15	N	
16	N	
17	N	
18	N	
19	N	
20	N	
21	O	
22	O	
23	O	
24	O	
25	O	

72
Table 1 (continued)

Formula I

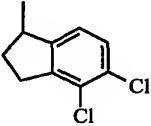
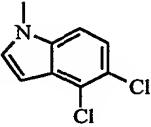
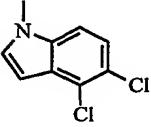
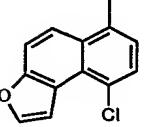
A is FIII; B and D are H; R is FII; T is O; m is 2; R¹ is N(C₂H₅)₂; n is 1

<u>Cmpnd No.</u>	<u>U</u>	<u>R²</u>
26	O	
27	O	
28	O	
29	O	
30	O	
31	O	
32	O	
33	O	
34	O	
35	O	

73
Table 1 (continued)

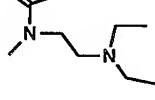
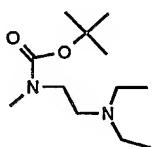
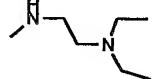
Formula I

A is FIII; B and D are H; R is FII; T is O; m is 2; R¹ is N(C₂H₅)₂; n is 1

<u>Cmpnd No.</u>	<u>U</u>	<u>R²</u>
36	O	
37	O	
38	N	
38	O	

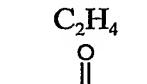
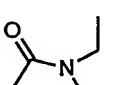
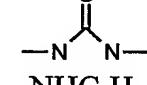
Formula I

A is FIII; B and D are H; n is 1; U is N; R² is 1-R⁴; X is 4-Cl; Y and Z are H

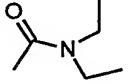
<u>Cmpnd No.</u>	<u>R</u>
39	-N(C ₂ H ₅) ₂
40	
41	
42	

74
Table 1 (continued)

Formula IA is FIII; B and D are H; R is FII; T is O; n is 0; R² is 1-R⁴; Y and Z are H

<u>Cmpnd No.</u>	<u>m</u>	<u>R¹</u>	<u>U</u>	<u>X</u>
43	0	CH ₃	C ₂ H ₄	4-Br
44	0	CH ₃		4-Cl
45	1	1-C ₆ H ₅	-OC ₂ H ₄ O-	4-Cl
46	1		-CH=N-	4-Cl
47	2	N(C ₂ H ₅) ₂	-OC ₂ H ₄ O-	4-Cl
48	2	N(C ₂ H ₅) ₂		4-Cl
49	2	N(C ₂ H ₅) ₂	-NHC ₂ H ₄ -	4-Cl
50	2	N(C ₂ H ₅) ₂	OCH ₂	4-Cl
51		N(C ₂ H ₅) ₂	O	4-Cl
52		N(C ₂ H ₅) ₂	CH ₂	4-Cl
53		N(C ₂ H ₅) ₂	SO ₂	4-Cl
54		N(C ₂ H ₅) ₂	CO	4-Cl
55		N(C ₂ H ₅) ₂	CF ₂	4-Cl
56		N(C ₂ H ₅) ₂	-CH(OH)	4-Cl
57		N(C ₂ H ₅) ₂	-CH ₂ S-	4-Cl
58		N(C ₂ H ₅) ₂	CH ₂ SO	4-Cl
59		N(C ₂ H ₅) ₂	CH ₂ SO ₂	4-Cl
60	2	-OC ₂ H ₅	-CH ₂ NH-	4-Cl

Formula I5 A is FIII; B and D are H; R is FII; T is O; m is 1; n is 1; R² is 1-R⁴; X is 4-Cl; Y and Z are H

<u>Cmpnd No.</u>	<u>U</u>	<u>R¹</u>
61	O	-CH ₂ =C(Cl) ₂
62	N	-C(O)O
63	N	

Formula IA is FIII; B and D are H; R is FII; n is 1; R² is 1-R⁴;

<u>Cmpnd No.</u>	<u>m</u>	<u>T</u>	<u>U</u>	<u>R¹</u>	<u>X</u>	<u>Y</u>	<u>Z</u>
64	1	O	N	-CH ₃	4-Cl	H	H
65	1	O	N	-CH ₂ F	4-Cl	H	H

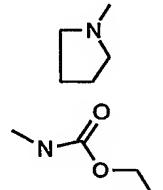
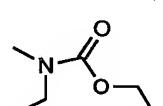
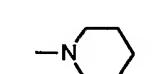
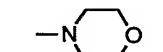
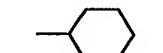
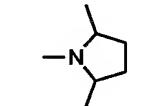
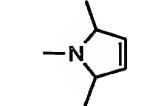
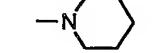
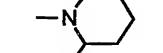
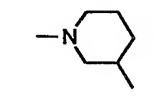
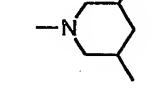
75
Table 1 (continued)

Formula IA is FIII; B and D are H; R is FII; n is 1; R² is 1-R⁴;

Cmpnd No.	<u>m</u>	<u>T</u>	<u>U</u>	<u>R</u> ¹	<u>X</u>	<u>Y</u>	<u>Z</u>
66	1	O	O		4-Cl	H	H
67	1	O	O		4-Cl	H	H
Hydrochloride Salt							
68	1	O	O		4-Cl	H	H
69	1	O	O		4-Cl	H	H
70	1	O	O		4-Cl	H	H
71	2	S	N	-N(C ₂ H ₅) ₂	4-Cl	H	H
72	2	O	CH ₂	-N(C ₂ H ₅) ₂	4-Br	H	H
73	2	O	CH ₂	-N(C ₂ H ₅) ₂	4-Cl	H	H
74	2	O	N	-N(CH ₃) ₂	H	H	H
75	2	O	N	-N(C ₂ H ₅) ₂	H	H	H
76	2	O	N		H	H	H
77	2	O	N	-N(CH ₃) ₂	4-Br	H	H
78	2	O	N	-N(C ₂ H ₅) ₂	4-Br	H	H
79	2	O	N	-N(isopropyl) ₂	4-Br	H	H
80	2	O	N		4-Br	H	H
81	2	O	N		4-Br	H	H
82	2	O	N	-NH(C ₂ H ₅)	4-Cl	H	H
83	2	O	N	-N(CH ₃) ₂	4-Cl	H	H
84	2	O	N	-N(C ₂ H ₅) ₂	4-Cl	H	H
85	2	O	N	-N(C ₂ H ₅) ₂	4-Cl	H	H
Chloride Salt							
86	2	O	N	-N(C ₂ H ₅) ₂	8-Cl	H	H
87	2	O	N	-N(isopropyl) ₂	4-Cl	H	H
88	2	O	N	-N(C ₄ H ₉) ₂	4-Cl	H	H
89	2	O	N		4-Cl	H	H

76
Table 1 (continued)

Formula IA is FIII; B and D are H; R is FII; n is 1; R² is 1-R⁴;

Cmpnd No.	<u>m</u> 90	<u>T</u> 2	<u>U</u> O	<u>N</u>	<u>R</u> ¹	<u>X</u> 4-Cl	<u>Y</u> H	<u>Z</u> H
91	2	O	N			4-Cl	H	H
92	2	O	N			4-Cl	H	H
93	2	O	N			4-Cl	H	H
94	3	O	N	-N(CH ₃) ₂	4-Cl	H	H	
95	3	O	N	-N(C ₄ H ₉) ₂	4-Cl	H	H	
96	3	O	N		4-Cl	H	H	
97	4	O	N	-N(C ₄ H ₉) ₂	4-Cl	H	H	
98	2	O	O			4-Cl	H	H
99	2	O	O			4-Cl	H	H
100	2	O	O			4-Cl	H	H
101	2	O	O			4-Cl	H	H
102	2	O	O			4-Cl	H	H
103	2	O	O			4-Cl	H	H
104	2	O	O			4-Cl	H	H
105	2	O	O			4-Cl	H	H

77
Table 1 (continued)

Formula IA is FIII; B and D are H; R is FII; n is 1; R² is 1-R⁴;

Cmpnd No.	<u>m</u> 2	<u>T</u> O	<u>U</u> O	<u>R</u> ¹	<u>X</u> 4-Cl	<u>Y</u> H	<u>Z</u> H
106							
107	2	O	O		4-Cl	6-Cl	H
108	2	O	O		4-Cl	H	H
109	2	O	O		4-Cl	H	H
110	2	O	O		4-Cl	H	H
111	2	O	O		4-Cl	H	H
112	2	O	O		4-Cl	H	H
113	2	O	O		4-Cl	H	H
114	2	O	O		4-Cl	H	H
115	2	O	O		4-Cl	H	H
116	2	O	O		4-Cl	H	H
117	2	O	O		4-Cl	H	H

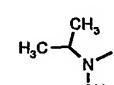
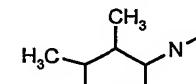
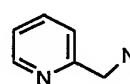
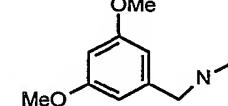
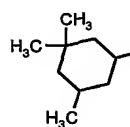
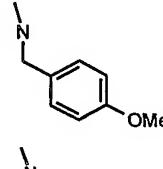
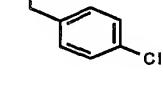
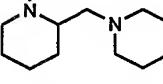
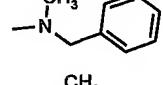
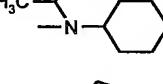
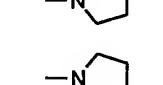
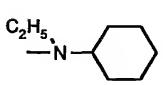
78
Table 1 (continued)

Formula IA is FIII; B and D are H; R is FII; n is 1; R² is 1-R⁴;

Cmpnd No.	<u>m</u>	<u>T</u>	<u>U</u>	<u>R</u> ¹	<u>X</u>	<u>Y</u>	<u>Z</u>
118	2	O	O		4-Cl	H	H
119	2	O	O		4-Cl	H	H
120	2	O	O		4-Cl	H	H
121	2	O	O		4-Cl	H	H
122	2	O	O		4-Cl	H	H
123	2	O	O		4-Cl	H	H
124	2	O	O		4-Cl	H	H
125	2	O	O		4-Cl	H	H
126	2	O	O		4-Cl	H	H
127	2	O	O		4-Cl	H	H
128	2	O	O		4-Cl	H	H
129	2	O	O		4-Cl	H	H
130	2	O	O		4-Cl	H	H

79
Table 1 (continued)

Formula IA is FIII; B and D are H; R is FII; n is 1; R² is 1-R⁴;

Cmpnd No.	<u>m</u>	<u>T</u>	<u>U</u>	<u>R</u> ¹	<u>X</u>	<u>Y</u>	<u>Z</u>
131	2	O	O		4-Cl	H	H
132	2	O	O		4-Cl	H	H
133	2	O	O		4-Cl	H	H
134	2	O	O		4-Cl	H	H
135	2	O	O		4-Cl	H	H
136	2	O	O		4-Cl	H	H
137	2	O	O		4-Cl	H	H
138	2	O	O		4-Cl	H	H
139	2	O	O		4-Cl	H	H
140	2	O	O		4-Cl	H	H
141	2	O	O		4-Cl	H	H
142	2	O	O		5-Cl	6-Cl	H
143	2	O	O		4-Cl	H	H

80
Table 1 (continued)

Formula IA is FIII; B and D are H; R is FII; n is 1; R² is 1-R⁴;

Cmpnd No.	<u>m</u>	<u>T</u>	<u>U</u>	<u>R</u> ¹	<u>X</u>	<u>Y</u>	<u>Z</u>
144	2	O	O		4-Cl	H	H
145	2	O	O		4-Cl	H	H
146	2	O	O		4-Cl	H	H
147	2	O	O		4-Cl	H	H
148	2	O	O		4-Cl	H	H
149	2	O	O		4-Cl	H	H
150	2	O	O		4-Cl	H	H
151	2	O	O		4-Cl	H	H
152	2	O	O		4-Cl	H	H
153	2	O	O		4-Cl	H	H
154	2	O	O		4-Cl	H	H
155	2	O	O		4-Cl	H	H

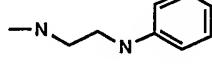
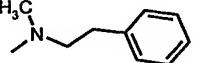
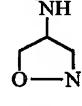
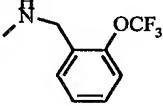
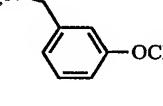
81
Table 1 (continued)

Formula IA is FIII; B and D are H; R is FII; n is 1; R² is 1-R⁴;

Cmpnd No.	<u>m</u>	<u>T</u>	<u>U</u>	<u>R</u> ¹	<u>X</u>	<u>Y</u>	<u>Z</u>
	2	O	O		4-Cl	H	H
156							
157	2	O	O		4-Cl	H	H
158	2	O	O		4-Cl	H	H
159	2	O	O		4-Cl	H	H
160	2	O	O		4-Cl	H	H
161	2	O	O		4-Cl	H	H
162	2	O	O		4-Cl	H	H
163	2	O	O		4-Cl	H	H
164	2	O	O		4-Cl	H	H
165	2	O	O		4-Cl	H	H
166	2	O	O		4-Cl	H	H
167	2	O	O		4-Cl	H	H
168	2	O	O		4-Cl	H	H

82
Table 1 (continued)

Formula IA is FIII; B and D are H; R is FII; n is 1; R² is 1-R⁴;

Cmpnd No.	m	T	U	R ¹	X	Y	Z
169	2	O	O		4-Cl	H	H
170	2	O	O		4-Cl	H	H
171	2	O	O		4-Cl	H	H
172	2	O	O		4-Cl	H	H
173	2	O	O		4-Cl	H	H
174	2	O	O		4-Cl	H	H
175	2	O	O		4-Cl	H	H
176	2	O	O		4-Cl	H	H
177	2	O	O	-OC ₄ H ₉	4-Cl	H	H
178	2	O	O	-N(C ₂ H ₅)(OCH ₃)	4-Cl	H	H
179	2	O	O	-N(C ₂ H ₅) ₂ (OCH ₃)	4-Cl	H	H
180	2	O	O	-NHC ₆ H ₅	4-Cl	H	H
181	2	O	O		4-Cl	6-Cl	H
182 Hydrochloride Salt	2	O	O		4-Cl	6-Cl	H
183	2	O	O		5-Cl	6-Cl	H
184	2	O	O	-NH(C ₂ H ₅)	4-Cl	H	H
185 Hydrochloride Salt	2	O	O	-NH(C ₂ H ₅)	4-Cl	H	H

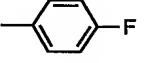
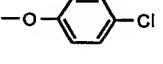
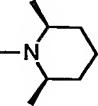
83
Table 1 (continued)

Formula IA is FIII; B and D are H; R is FII; n is 1; R² is 1-R⁴;

Cmpnd No.	<u>m</u>	<u>T</u>	<u>U</u>	<u>R</u> ¹	<u>X</u>	<u>Y</u>	<u>Z</u>
186	2	O	O	-N(C ₂ H ₅) ₂	2-Cl	H	H
187	2	O	O	-N(C ₂ H ₅) ₂	3-Cl	H	H
188	2	O	O	-N(C ₂ H ₅) ₂	4-Cl	H	H
189	2	O	O	-N(C ₂ H ₅) ₂	4-Cl	H	H
Chloride Salt							
190	2	O	O	-N(C ₂ H ₅)(CH ₃) ₂	4-Cl	H	H
Iodide Salt							
191	2	O	O	-N(CH ₂ CN(C ₂ H ₅))	4-Cl	H	H
192	2	O	O	-N(C ₂ H ₅)(CH ₃)	4-Cl	H	H
193	2	O	O	-N(C ₂ H ₅)(CH ₃)	4-Cl	H	H
Hydrochloride Salt							
194	2	O	O	-NHtBu	4-Cl	H	H
195	2	O	O	-N(C ₃ H ₆)(OC ₂ H ₅)	4-Cl	H	H
196	2	O	O	-N(CH ₂ CH=CH ₂) ₂	4-Cl	H	H
197	2	O	O	-NCH ₂ C(OCH ₃) ₂	4-Cl	H	H
198	2	O	O	-NC ₃ H ₆ OCH ₃	4-Cl	H	H
199	2	O	O	-NC ₄ H ₉	4-Cl	H	H
200	2	O	O	-N(CH ₃)C ₂ H ₄ CN	4-Cl	H	H
201	2	O	O	-N(C ₂ H ₅)C ₄ H ₉	4-Cl	H	H
202	2	O	O	-N(C ₄ H ₉) ₂	4-Cl	H	H
203	2	O	O	-N(isopropyl) ₂	4-Cl	H	H
204	2	O	O	-N(C ₆ H ₁₃) ₂	4-Cl	H	H
205	2	O	O	-N(CH ₃)C ₁₇ H ₃₅	4-Cl	H	H
206	2	O	O	-N(C ₂ H ₅) ₂	5-Cl	H	H
207	2	O	O	-N(C ₂ H ₅) ₂	6-Cl	H	H
208	2	O	O	-N(C ₂ H ₅) ₂	7-Cl	H	H
209	2	O	O	-N(C ₂ H ₅) ₂	8-Cl	H	H
210	2	O	O	-N(C ₂ H ₅) ₂	2-Cl	4-Cl	H
211	2	O	O	-N(C ₂ H ₅) ₂	2-Cl	5-Cl	H
212	2	O	O	-N(C ₂ H ₅) ₂	2-Cl	6-Cl	H
213	2	O	O	-N(C ₂ H ₅) ₂	2-Cl	8-Cl	H
214	2	O	O	-N(C ₂ H ₅) ₂	4-Cl	5-Cl	6-Cl
215	2	O	O	-N(C ₂ H ₅) ₂	4-Cl	5-Cl	H
216	2	O	O	-N(C ₂ H ₅) ₂	4-Cl	6-Cl	H
217	2	O	O	-N(C ₂ H ₅) ₂	4-Cl	6-Cl	H
Chloride Salt							
218	2	O	O	-N(C ₂ H ₅) ₂	4-Cl	6-Cl	H
Sulfonic Salt							
219	2	O	O	-N(C ₂ H ₅) ₂	4-Cl	6-Cl	H
Trifluoroacetic Salt							
220	2	O	O	-N(C ₂ H ₅) ₂	4-Cl	6-Cl	H
Methylbenzenesulfonic Salt							
221	2	O	O	-N(C ₂ H ₅) ₂	4-Cl	7-Cl	H

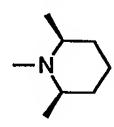
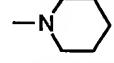
84
Table 1 (continued)

Formula IA is FIII; B and D are H; R is FII; n is 1; R² is 1-R⁴;

Cmpnd No.	<u>m</u>	<u>T</u>	<u>U</u>	<u>R</u> ¹	<u>X</u>	<u>Y</u>	<u>Z</u>
222	2	O	O	-N(C ₂ H ₅) ₂	4-Cl	8-Cl	H
223	2	O	O	-N(C ₂ H ₅) ₂	5-Cl	6-Cl	H
224	2	O	O	-N(C ₂ H ₅) ₂	5-Cl	6-Cl	H
Chloride salt							
225	2	O	O	-N(C ₂ H ₅) ₂	5-Cl	6-Cl	H
Phosphoric salt							
226	2	O	O	-NHtBu	5-Cl	6-Cl	H
227	2	O	O	-N(C ₂ H ₅) ₂	6-Cl	8-Cl	H
228	2	O	O	-N(C ₂ H ₅) ₂	4-Br	H	H
229	2	O	O	-N(C ₂ H ₅) ₂	6-Br	H	H
230	2	O	O	-N(C ₂ H ₅) ₂	5-Br	H	H
231	2	O	O	-N(C ₂ H ₅) ₂	4-F	H	H
232	2	O	O	-N(C ₂ H ₅) ₂	4-CF ₃	H	H
233	2	O	O	-N(C ₂ H ₅) ₂	6-CF ₃	H	H
234	2	O	O	-N(C ₂ H ₅) ₂	4-N ₃	H	H
235	2	O	O	-N(C ₂ H ₅) ₂	4-OCH ₃	H	H
236	2	O	O	-N(C ₂ H ₅) ₂	4-OCH ₃	H	H
Chloride Salt							
237	2	O	O	-N(C ₂ H ₅) ₂	5-OCH ₃	H	H
238	2	O	O	-N(C ₂ H ₅) ₂	4-NO ₂	H	H
239	2	O	O	-N(C ₂ H ₅) ₂	4-CN	H	H
240	2	O	O	-N(C ₂ H ₅) ₂	2-CH ₃	H	H
241	2	O	O	-N(C ₂ H ₅) ₂	6-CH ₃	H	H
242	2	O	O	-N(C ₂ H ₅) ₂		H	H
243	2	O	O	-N(C ₂ H ₅) ₂		H	H
244	2	O	O	-N(C ₂ H ₅) ₂	5-Cl	6-CF ₃	H
245	2	O	O	-N(C ₂ H ₅) ₂	5-Cl	6-Br	H
246	2	O	O	-N(C ₂ H ₅) ₂	5-Cl	6-I	H
247	2	O	O	-N(C ₂ H ₅) ₂	5-I	6-Cl	H
248	2	O	O	-N(C ₂ H ₅) ₂	5-Cl	6-OCF ₃	H
249	2	O	O	-N(C ₂ H ₅) ₂	5-Cl	6-CN	H
250	2	O	O	-N(C ₂ H ₅) ₂	5-Cl	6-NO ₂	H
251	2	O	O	-N(C ₂ H ₅) ₂	5-CF ₃	6-Cl	H
252	2	O	O	-N(C ₂ H ₅) ₂	5-OCH ₃	6-Cl	H
253	2	O	O	-N(C ₂ H ₅) ₂	4-CF ₃	6-Cl	H
254	2	O	O		5-Cl	6-Cl	H

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Table 1 (continued)

Formula IA is FIII; B and D are H; R is FII; n is 1; R² is 1-R⁴;

Cmpnd No.	<u>m</u>	<u>T</u>	<u>U</u>	<u>R</u> ¹	<u>X</u>	<u>Y</u>	<u>Z</u>
255	2	O	CH ₂		5-Cl	6-Cl	H
256	2	O	O		5-Cl	6-Cl	H
257	2	O	S	-N(C ₂ H ₅) ₂	5-Cl	6-Cl	H
258	2	O	SO ₂	-N(C ₂ H ₅) ₂	5-Cl	6-Cl	H
259	3	O	O	-N(C ₂ H ₅) ₂	4-Cl	H	H
260	4	O	O	-N(C ₂ H ₅) ₂	4-Cl	H	H

Please note that Compound No. 261 is a mixture of Compound 212 and (2-(4-((2,4,6-trichloronaphthoxy)methyl)phenoxy)ethyl)diethylamine.

5 **Formula I**A is FIII; R is FII; T is O; m is 2; R¹ is -N(C₂H₅)₂; R² is 1-R⁴; X is 4-Cl; Y and Z are H

Cmpnd No.	<u>B</u>	<u>D</u>	<u>n</u>	<u>U</u>
262	2-F	H	1	N
263	2-OCH ₃	H	1	N
264	3-OCH ₃	H	1	N
265	3-OCH ₃	5-OCH ₃	1	N
266	5-(OC ₂ H ₄ N(C ₂ H ₅) ₂)	H	1	N
267	2-Cl	H	1	N
268	3-Cl	H	1	N
269	2-Cl	3-Cl	1	N
270	2-Cl	6-Cl	1	N
271	3-Cl	5-Cl	1	N
272	3-Cl	5-Cl	0	

10 **Formula I**A and D are H; R is FII; T is O; m is 2; R¹ is N(C₂H₅)₂

Cmpnd No.	<u>B</u>	<u>n</u>	<u>U</u>	<u>R</u> ²	<u>J</u>	<u>L</u>	<u>W</u>
273	5-FIII	1	N	1-R ³	4-Cl	H	H
274	6-FIII	1	N	1-R ³	4-Cl	H	H

Formula I

15 A is FIII; B and D are H; R is FII; T is O; m is 2; n is 1; U is O

86
Table 1 (continued)

<u>Cmpnd No.</u>	<u>R¹</u>	<u>R²</u>
275		
276		
277		

Formula I

A is FIII; B and D are H; R is FII; m is 2; T is O; R¹ is -N(C₂H₅)₂; n is 1; R² is 1-R³;

<u>mpnd No.</u>	<u>U</u>	<u>J</u>	<u>L</u>	<u>W</u>
278	N	H	H	H
279	N	2-OCF ₃	H	H
280	N	4-OCF ₃	H	H
281	N	2-OC ₆ H ₅	H	H
282	N	3-OC ₆ H ₅	H	H
283	N	2-Cl	H	H
284	N	4-Cl	H	H
285	N	2-Cl	3-Cl	H
286	N	2-Cl	3-Cl	4-Cl
287	N	2-Cl	4-Cl	H
288	N	2-Cl	4-Cl	5-Cl
289	N	3-Cl	4-Cl	H
290	N	3-Cl	5-Cl	H
291	N	2-C ₆ H ₅	H	H
292	N	2-C ₆ H ₅	4-Cl	H
293	N	3-C ₆ H ₅	4-Cl	H
294	N	2-F	3-F	H
295	N	2-F	3-F	4-F
296	N	2-F	4-F	H
297	N	2-F	4-F	5-F
298	N	2-CH ₃	3-CH ₃	H
299	N	2-CH ₃	4-CH ₃	H
300	N	2-OCH ₃	4-OCH ₃	H
301	N	2-OCH ₃	5-OCH ₃	H
302	N	3-OCH ₃	5-OCH ₃	H
303	O	3-OCH ₃	5-OCH ₃	H
304	O	H	H	H
305	O	2-Cl	H	H
306	O	4-Cl	H	H
307	O	2-Cl	3-Cl	H
308	O	2-Cl	3-Cl	4-Cl
309	O	2-Cl	4-Cl	H

87
Table 1 (continued)

Formula I

A is FIII; B and D are H; R is FII; m is 2; T is O; R¹ is -N(C₂H₅)₂; n is 1; R² is 1-R³;

<u>mpnd No.</u>	<u>U</u>	<u>J</u>	<u>L</u>	<u>W</u>
310	O	2-Cl	4-Cl	5-Cl
311	O	2-Cl	5-Cl	H
312	O	2-Cl	6-Cl	H
313	O	3-Cl	4-Cl	H
314	O	3-Cl	5-Cl	H
315	O	2-Cl	4-Br	H
316	O	2-Cl	6-Br	H
317	O	2-Cl	5-CH ₃	H
318	O	2-C(CH ₃) ₃	H	H
319	O	3-C(CH ₃) ₃	H	H
320	O	4-C(CH ₃) ₃	H	H
321	O	2-isopropyl	H	H
322	O	4-C ₃ H ₇	H	H
323	O	4-OCH ₃	H	H
324	O	4-OCF ₃	H	H
325	O	2-CN	H	H
326	O	5-CN	H	H
327	O	2-NC(O)CH ₃	H	H
328	O	2-C(O)OC ₂ H ₅	H	H
329	O	4-C(O)CH ₃	H	H
330	O	2-C(O)CH ₃	3-OCH ₃	H
331	O	2-C(O)CH ₃	4-OCH ₃	H
332	O	2-CH ₃	4-Cl	H
333	O	3-CH ₃	4-Cl	H
334	O	2-NO ₂	4-Cl	H
335	O	$2-\left[\begin{array}{c} \text{---} \\ \text{---} \\ \text{---} \\ \text{---} \\ \text{---} \\ \text{---} \end{array}\right]$		4-Cl
336	O	$2-\left[\begin{array}{c} \text{---} \\ \text{---} \\ \text{---} \\ \text{---} \\ \text{---} \\ \text{---} \end{array}\right]$		4-Cl 5-CH ₃
337	O	2-CH ₃	4-CH ₃	H
338	O	2-CH ₃	3-CH ₃	5-CH ₃
339	O	2-CH ₃	3-CH ₃	6-CH ₃
340	O	2-OCH ₃	4-CH ₃	H
341	O	2-Br	4-Br	H
342	O	2-Br	6-Br	H
343	O	2-Br	4-CH ₃	H
344	O	2-Br	4-CH ₃	6-Br
345	O	2-F	3-F	H
346	O	2-F	5-F	H

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Table 1 (continued)

Formula IA is FIII; B and D are H; R is FII; m is 2; T is O; R¹ is -N(C₂H₅)₂; n is 1; R² is 1-R³;

<u>mpnd No.</u>	<u>U</u>	<u>J</u>	<u>L</u>	<u>W</u>
347	O	2-F	6-F	H
348	O	3-F	5-F	H
349	O	4-F	6-F	H
350	O	3-F	4-F	6-F
351	O	3-CF ₃	H	H
352	O	2-CF ₃	5-CF ₃	H

Formula IA is FIII; B and D are H; n is 1; U is O; R² is 1-R⁴

<u>Cmpnd No.</u>	<u>R</u>	<u>X</u>	<u>Y</u>	<u>Z</u>
353		4-Cl	H	H
354		4-Cl	6-Cl	H
355		5-Cl	6-Cl	H
356		5-Cl	6-Cl	H
357		5-Cl	6-Br	H
358		5-Cl	6-Cl	H
359		5-Cl	6-Cl	H
360		5-Cl	6-Cl	H
361		5-Cl	6-Cl	H
362		5-Cl	6-Cl	H
363		4-Cl	6-Cl	H
364		5-Cl	6-Cl	H

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Table 1 (continued)

<u>Cmpnd No.</u>	<u>R</u>	<u>X</u>	<u>Y</u>	<u>Z</u>
365		5-Cl	6-Cl	H
366		5-Cl	6-Cl	H
367		5-Cl	6-Cl	H
368		5-Cl	6-Cl	H
369		5-Cl	6-Cl	H
370		5-Cl	6-Cl	H
371		5-Cl	6-Cl	H

Formula IA is FIII; B and D are H; R is FII; T is O; n is 1; R² is 1-R⁴; Z is H

<u>Cmpnd No.</u>	<u>m</u>	<u>n</u>	<u>R¹</u>	<u>X</u>	<u>Y</u>	<u>U</u>
372	0	1		5-Cl	6-Cl	O
373	0	1		5-Cl	6-Cl	O
374	0	1		4-Cl	H	O
375	0	1		4-Cl	H	O
376	1	1		5-Cl	6-Cl	O
377	1	1		5-Cl	6-Cl	O
378	1	1		5-Cl	6-Cl	O
379	2	0		4-Cl	H	-CH ₂ OCH ₂

Table 2

Characterizing Data

<u>Cmpd No</u>	<u>Empirical Formula</u>	<u>Melting Point/Physical State</u>
1	C ₂₃ H ₂₇ ClN ₂ O	OIL
2	C ₂₃ H ₂₇ ClN ₂ O	OIL
3	C ₂₀ H ₃₃ N ₃ O ₃	OIL
4	C ₂₃ H ₂₉ ClN ₂ O	OIL
5	C ₂₄ H ₃₀ ClN ₃ O ₂	OIL
6	C ₂₄ H ₂₉ ClN ₂ O	OIL
7	C ₂₃ H ₂₅ ClN ₂ O ₂	SOLID
8	C ₂₄ H ₂₈ ClNO ₂	SOLID
9	C ₂₂ H ₂₆ N ₂ O ₂	SOLID
10	C ₂₃ H ₂₅ F ₃ N ₂ O ₂	SOLID
11	C ₂₂ H ₂₅ ClN ₂ O ₂	OIL
12	C ₂₂ H ₂₅ FN ₂ O ₂	OIL
13	C ₂₃ H ₂₈ N ₂ O	OIL
14	C ₂₂ H ₂₇ N ₃ O	LIQUID
15	C ₂₂ H ₂₇ N ₃ O	LIQUID
16	C ₂₂ H ₂₇ N ₃ O	SOLID
17	C ₂₃ H ₃₁ ClN ₂ O ₂	OIL
18	C ₁₈ H ₂₄ ClN ₃ O	LIQUID
19	C ₂₄ H ₃₂ ClN ₃ O ₂	93-95 °C
20	C ₂₃ H ₃₁ ClN ₂ O	OIL
21	C ₂₅ H ₂₇ NO ₃	SOLID
22	C ₂₁ H ₂₅ N ₃ O ₂	OIL
23	C ₂₁ H ₂₄ ClN ₃ O ₂	OIL
24	C ₁₃ H ₈ F ₅ NO ₂ S	
39	C ₂₁ H ₂₃ ClN ₂	OIL
40	C ₂₅ H ₃₀ ClN ₃ O	OIL
41	C ₂₈ H ₃₆ ClN ₃ O ₂	FOAM
43	C ₁₉ H ₁₇ BrO	OIL
44	C ₁₈ H ₁₅ ClN ₂ O ₂	220 °C >
45	C ₂₅ H ₂₁ ClO ₃	106-107 °C
46	C ₂₃ H ₂₃ ClN ₂ O ₂	OIL
47	C ₂₄ H ₂₈ ClNO ₃	OIL
48	C ₂₃ H ₂₆ ClN ₃ O ₂	210 °C >
49	C ₂₅ H ₃₁ ClN ₂ O	OIL
60	C ₂₁ H ₂₂ ClNO ₂	OIL
61	C ₂₀ H ₁₅ Cl ₃ O ₂	SOLID
62	C ₁₉ H ₁₆ ClNO ₃	90-92 °C
63	C ₂₃ H ₂₅ ClN ₂ O ₂	123-125 °C

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Table 2 (continued)

<u>Cmpd No</u>	<u>Empirical Formula</u>	<u>Melting Point/Physical State</u>
64	C ₁₉ H ₁₈ ClNO	92-93 °C
65	C ₁₉ H ₁₇ ClFNO	SOLID
66	C ₂₀ H ₁₇ ClN ₄ O ₂	122-124 °C
67	C ₁₉ H ₁₆ ClN ₄ O ₂ .Cl	SOLID
68	C ₂₀ H ₁₇ ClN ₄ O ₂	159-161 °C
69	C ₂₁ H ₁₉ ClN ₄ O ₂	104-106 °C
70	C ₂₁ H ₁₉ ClN ₄ O ₂	SOLID
71	C ₂₃ H ₂₇ ClN ₂ S	OIL
72	C ₂₄ H ₂₈ BrNO	OIL
73	C ₂₄ H ₂₈ ClNO	OIL
74	C ₂₁ H ₂₄ N ₂ O	LIQUID
75	C ₂₃ H ₂₈ N ₂ O	OIL
76	C ₂₃ H ₂₆ N ₂ O ₂	SOLID
77	C ₂₁ H ₂₃ BrN ₂ O	LIQUID
78	C ₂₃ H ₂₇ BrN ₂ O	SOLID
79	C ₂₅ H ₃₁ BrN ₂ O	SOLID
80	C ₂₃ H ₂₅ BrN ₂ O ₂	SOLID
81	C ₂₃ H ₂₅ BrN ₂ O	SOLID
82	C ₂₁ H ₂₃ ClN ₂ O	184-187 °C
83	C ₂₁ H ₂₃ ClN ₂ O	LIQUID
84	C ₂₃ H ₂₇ ClN ₂ O	OIL
85	C ₂₃ H ₂₇ ClN ₂ O.CIH	
86	C ₂₃ H ₂₇ ClN ₂ O	PASTE
87	C ₂₅ H ₃₁ ClN ₂ O	SOLID
88	C ₂₇ H ₃₅ ClN ₂ O	LIQUID
89	C ₂₃ H ₂₅ ClN ₂ O ₂	SOLID
90	C ₂₃ H ₂₅ ClN ₂ O	SOLID
91	C ₂₂ H ₂₃ ClN ₂ O ₃	102-104 °C
92	C ₂₄ H ₂₇ ClN ₂ O ₃	OIL
93	C ₂₄ H ₂₇ ClN ₂ O	SOLID
94	C ₂₂ H ₂₅ ClN ₂ O	SOLID
95	C ₂₈ H ₃₇ ClN ₂ O	LIQUID
96	C ₂₄ H ₂₇ ClN ₂ O ₂	LIQUID
97	C ₂₉ H ₃₉ ClN ₂ O	LIQUID
98	C ₂₅ H ₂₇ ClO ₂	LIQUID
99	C ₂₅ H ₂₈ ClNO ₂	SOLID
100	C ₂₅ H ₂₆ ClNO ₂	SOLID
101	C ₂₄ H ₂₆ ClNO ₂	89-90 °C
102	C ₂₅ H ₂₈ ClNO ₂	OIL
103	C ₂₅ H ₂₈ ClNO ₂	OIL
104	C ₂₅ H ₂₈ ClNO ₂	OIL
105	C ₂₆ H ₃₀ ClNO ₂	60-65 °C
106	C ₂₆ H ₃₀ ClNO ₂	OIL

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Table 2 (continued)

<u>Cmpd No</u>	<u>Empirical Formula</u>	<u>Melting Point/Physical State</u>
107	C ₂₆ H ₂₉ Cl ₂ NO ₂	OIL
108	C ₂₇ H ₃₀ CINO ₄	85-87 °C
109	C ₃₀ H ₃₀ CINO ₂	89-91 °C
110	C ₃₁ H ₃₀ CINO ₃	112-115 °C
111	C ₃₁ H ₃₂ CINO ₂	88-91 °C
112	C ₂₅ H ₂₈ CINO ₂	SOLID
113	C ₂₃ H ₂₅ CIN ₂ O ₂	OIL
114	C ₂₆ H ₂₉ CIN ₂ O ₄	OIL
115	C ₂₉ H ₂₉ CIN ₂ O ₂	OIL
116	C ₃₀ H ₂₉ CIN ₂ O ₃	OIL
117	C ₃₀ H ₃₁ CIN ₂ O ₂	71-73 °C
118	C ₂₃ H ₂₄ CINO ₂ S	OIL
119	C ₂₅ H ₂₈ CINO ₃	OIL
120	C ₂₅ H ₂₈ CINO ₃	OIL
121	C ₂₈ H ₂₈ CINO ₂	LIQUID
122	C ₂₆ H ₃₂ CINO ₂	88-90 °C
123	C ₂₆ H ₃₂ CINO ₂	OIL
124	C ₂₆ H ₃₀ CINO ₂	OIL
125	C ₂₆ H ₃₀ CINO ₂	OIL
126	C ₂₄ H ₂₆ CINO ₂	SEMI SOLID
127	C ₂₉ H ₃₅ CIN ₂ O ₂	91-92 °C
128	C ₂₈ H ₂₆ CINO ₂	SYRUP
129	C ₂₉ H ₃₁ CIN ₂ O ₂	SYRUP
130	C ₂₇ H ₂₃ ClF ₃ NO ₃	SYRUP
131	C ₂₃ H ₂₆ CINO ₂	58-59 °C
132	C ₂₇ H ₃₂ CINO ₂	OIL
133	C ₂₅ H ₂₃ CIN ₂ O ₂	OIL
134	C ₂₈ H ₂₈ CINO ₄	96-98 °C
135	C ₂₈ H ₃₄ CINO ₂	OIL
136	C ₂₇ H ₂₆ CINO ₃	95-96 °C
137	C ₂₆ H ₂₃ Cl ₂ NO ₂	87-88 °C
138	C ₃₀ H ₃₇ CIN ₂ O ₂	OIL
139	C ₂₇ H ₂₆ CINO ₂	OIL
140	C ₂₈ H ₃₄ CINO ₂	OIL
141	C ₂₃ H ₂₄ CINO ₂	75-77 °C
142	C ₂₃ H ₂₃ Cl ₂ NO ₂	152-154 °C
143	C ₂₇ H ₃₂ CINO ₂	OIL
144	C ₂₂ H ₂₂ CINO ₂ S	83-86 °C
145	C ₂₈ H ₃₂ CINO ₂	OIL
146	C ₂₆ H ₃₀ CINO ₂	OIL
147	C ₂₆ H ₃₀ CINO ₂	OIL
148	C ₂₆ H ₃₀ CINO ₂	OIL
149	C ₃₀ H ₂₇ CIN ₂ O ₂	131-135 °C

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Table 2 (continued)

<u>Cmpd No</u>	<u>Empirical Formula</u>	<u>Melting Point/Physical State</u>
150	C ₂₃ H ₂₂ ClNO ₂	OIL
151	C ₂₉ H ₂₇ ClN ₂ O ₂	OIL
152	C ₃₀ H ₂₉ ClN ₂ O ₃	133-136 °C
153	C ₃₀ H ₃₁ ClN ₂ O ₃	OIL
154	C ₂₄ H ₂₄ CINO ₂	90-91 °C
155	C ₃₀ H ₂₈ ClF ₃ N ₂ O ₂	80-82 °C
156	C ₂₉ H ₂₈ ClFN ₂ O ₂	120-121 °C
157	C ₃₁ H ₃₁ ClN ₂ O ₃	OIL
158	C ₃₆ H ₃₄ Cl ₂ N ₂ O ₂	OIL
159	C ₂₇ H ₃₀ CINO ₄	OIL
160	C ₂₉ H ₃₅ ClN ₂ O ₃	OIL
161	C ₃₀ H ₃₀ CINO ₃	123-125 °C
162	C ₂₈ H ₂₈ ClN ₃ O ₂	OIL
163	C ₂₇ H ₂₇ ClN ₂ O ₂	OIL
164	C ₂₉ H ₂₈ ClN ₃ O ₄	164-166 °C
165	C ₂₆ H ₃₁ ClN ₂ O ₂	83-89 °C
166	C ₂₅ H ₃₁ ClN ₂ O ₂	OIL
167	C ₂₉ H ₃₅ ClN ₂ O ₂	135-140 °C
168	C ₂₈ H ₃₂ CINO ₂	OIL
169	C ₂₇ H ₂₇ ClN ₂ O ₂	OIL
170	C ₂₈ H ₂₈ CINO ₂	OIL
181	C ₂₃ H ₂₅ Cl ₂ NO ₃	OIL
183	C ₂₃ H ₂₅ Cl ₂ NO ₃	81-87 °C
184	C ₂₁ H ₂₂ CINO ₂	LIQUID
185	C ₂₁ H ₂₃ CINO ₂ .Cl	201-203 °C
186	C ₂₃ H ₂₆ CINO ₂	LIQUID
187	C ₂₃ H ₂₆ CINO ₂	OIL
188	C ₂₃ H ₂₆ CINO ₂	OIL
189	C ₂₃ H ₂₆ CINO ₂ .ClH	SOLID
190	C ₂₃ H ₂₇ ClNO ₂ .I	LIQUID
191	C ₂₃ H ₂₃ ClN ₂ O ₂	LIQUID
192	C ₂₂ H ₂₄ CINO ₂	SOLID
193	C ₂₂ H ₂₅ CINO ₂ .Cl	SOLID
194	C ₂₃ H ₂₆ CINO ₂	84-85 °C
195	C ₂₄ H ₂₈ CINO ₃	SYRUP
196	C ₂₅ H ₂₆ CINO ₂	OIL
197	C ₂₃ H ₂₆ CINO ₄	OIL
198	C ₂₃ H ₂₆ CINO ₃	SEMI-SOLID
199	C ₂₃ H ₂₆ CINO ₂	138-145 °C
200	C ₂₃ H ₂₃ ClN ₂ O ₂	OIL
201	C ₂₅ H ₃₀ CINO ₂	OIL
202	C ₂₇ H ₃₄ CINO ₂	OIL
203	C ₂₅ H ₃₀ CINO ₂	OIL

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Table 2 (continued)

<u>Cmpd No</u>	<u>Empirical Formula</u>	<u>Melting Point/Physical State</u>
204	C ₃₁ H ₄₂ ClNO ₂	OIL
205	C ₃₈ H ₅₆ ClNO ₂	63-64 °C
206	C ₂₃ H ₂₆ ClNO ₂	LIQUID
207	C ₂₃ H ₂₆ ClNO ₂	LIQUID
208	C ₂₃ H ₂₆ ClNO ₂	OIL
209	C ₂₃ H ₂₆ ClNO ₂	LIQUID
210	C ₂₃ H ₂₅ Cl ₂ NO ₂	OIL
211	C ₂₃ H ₂₅ Cl ₂ NO ₂	OIL
213	C ₂₃ H ₂₅ Cl ₂ NO ₂	LIQUID
214	C ₂₃ H ₂₄ Cl ₃ NO ₂	SOLID
215	C ₂₃ H ₂₅ Cl ₂ NO ₂	LIQUID
216	C ₂₃ H ₂₅ Cl ₂ NO ₂	OIL
217	C ₂₃ H ₂₅ Cl ₂ NO ₂ .ClH	200 °C >
218	C ₂₃ H ₂₅ Cl ₂ NO ₂ .CH ₄ O ₃ S	SOLID
219	C ₂₃ H ₂₅ Cl ₂ NO ₂ .C ₂ HF ₃ O ₂	SOLID
220	C ₂₃ H ₂₅ Cl ₂ NO ₂ .C ₇ H ₈ O ₃ S	SOLID
221	C ₂₃ H ₂₅ Cl ₂ NO ₂	PASTE
222	C ₂₃ H ₂₅ Cl ₂ NO ₂	PASTE
223	C ₂₃ H ₂₅ Cl ₂ NO ₂	OIL
224	C ₂₃ H ₂₆ Cl ₂ NO ₂ .Cl	204-206 °C
225	C ₂₃ H ₂₅ Cl ₂ NO ₂ .H ₃ O ₄ P	SOLID
226	C ₂₃ H ₂₅ Cl ₂ NO ₂	215-217 °C
227	C ₂₃ H ₂₅ Cl ₂ NO ₂	OIL
228	C ₂₃ H ₂₆ BrNO ₂	OIL
229	C ₂₃ H ₂₆ BrNO ₂	SOLID
230	C ₂₃ H ₂₆ BrNO ₂	SOLID
231	C ₂₃ H ₂₆ FNO ₂	SOLID
232	C ₂₄ H ₂₆ F ₃ NO ₂	OIL
233	C ₂₄ H ₂₆ F ₃ NO ₂	COLORLESS OIL
234	C ₂₃ H ₂₈ N ₂ O ₂	OIL
235	C ₂₄ H ₂₉ NO ₃	OIL
236	C ₂₄ H ₂₉ NO ₃ .ClH	SOLID
237	C ₂₄ H ₂₉ NO ₃	OIL
238	C ₂₃ H ₂₆ N ₂ O ₄	OIL
239	C ₂₄ H ₂₆ N ₂ O ₂	OIL
240	C ₂₄ H ₂₉ NO ₂	OIL
241	C ₂₄ H ₂₉ NO ₂	SOLID
242	C ₂₉ H ₃₀ FNO ₂	67-71 °C
243	C ₂₉ H ₃₀ ClNO ₃	OIL
259	C ₂₄ H ₂₈ CINO ₂	LIQUID
260	C ₂₅ H ₃₀ CINO ₂	LIQUID
261	C ₂₃ H ₂₅ Cl ₂ NO ₂ .C ₂₃ H ₂₄ Cl ₃ NO ₂	LIQUID
262	C ₂₃ H ₂₆ ClFN ₂ O	LIQUID

95
Table 2 (continued)

<u>Cmpd No</u>	<u>Empirical Formula</u>	<u>Melting Point/Physical State</u>
263	C ₂₄ H ₂₉ ClN ₂ O ₂	LIQUID
264	C ₂₄ H ₂₉ ClN ₂ O ₂	SOLID
265	C ₂₅ H ₃₁ ClN ₂ O ₃	LIQUID
266	C ₂₉ H ₄₀ ClN ₃ O ₂	LIQUID
267	C ₂₃ H ₂₆ Cl ₂ N ₂ O	LIQUID
268	C ₂₃ H ₂₆ Cl ₂ N ₂ O	LIQUID
269	C ₂₃ H ₂₅ Cl ₃ N ₂ O	SOLID
270	C ₂₃ H ₂₅ Cl ₃ N ₂ O	SOLID
271	C ₂₃ H ₂₅ Cl ₃ N ₂ O	SOLID
272	C ₂₃ H ₂₃ Cl ₃ N ₂ O ₂	SOLID
273	C ₁₉ H ₂₅ ClN ₂ O	LIQUID
274	C ₁₉ H ₂₅ ClN ₂ O	LIQUID
275	C ₂₀ H ₂₅ ClN ₂ O ₂	OIL
276	C ₂₄ H ₃₁ ClN ₂ O ₄	OIL
277	C ₂₀ H ₂₆ ClNO ₂	OIL
278	C ₁₉ H ₂₆ N ₂ O	OIL
279	C ₂₀ H ₂₅ F ₃ N ₂ O ₂	OIL
280	C ₂₀ H ₂₅ F ₃ N ₂ O ₂	OIL
281	C ₂₅ H ₃₀ N ₂ O ₂	OIL
282	C ₂₅ H ₃₀ N ₂ O ₂	OIL
283	C ₁₉ H ₂₅ ClN ₂ O	OIL
284	C ₁₉ H ₂₅ ClN ₂ O	OIL
285	C ₁₉ H ₂₄ Cl ₂ N ₂ O	OIL
286	C ₁₉ H ₂₃ Cl ₃ N ₂ O	OIL
287	C ₁₉ H ₂₄ Cl ₂ N ₂ O	OIL
288	C ₁₉ H ₂₃ Cl ₃ N ₂ O	OIL
289	C ₁₉ H ₂₄ Cl ₂ N ₂ O	OIL
290	C ₁₉ H ₂₄ Cl ₂ N ₂ O	OIL
291	C ₂₅ H ₃₀ N ₂ O	LIQUID
292	C ₂₅ H ₂₉ ClN ₂ O	LIQUID
293	C ₂₅ H ₂₉ ClN ₂ O	LIQUID
294	C ₁₉ H ₂₄ F ₂ N ₂ O	OIL
295	C ₁₉ H ₂₃ F ₃ N ₂ O	OIL
296	C ₁₉ H ₂₄ F ₂ N ₂ O	OIL
297	C ₁₉ H ₂₃ F ₃ N ₂ O	OIL
298	C ₂₁ H ₃₀ N ₂ O	OIL
299	C ₂₁ H ₃₀ N ₂ O	OIL
300	C ₂₁ H ₃₀ N ₂ O ₃	OIL
301	C ₂₁ H ₃₀ N ₂ O ₃	OIL
302	C ₂₁ H ₃₀ N ₂ O ₃	OIL
303	C ₂₁ H ₂₉ NO ₄	LIQUID
304	C ₂₆ H ₃₁ NO ₃	SOLID
305	C ₁₉ H ₂₄ ClNO ₂	SOLID

96
Table 2 (continued)

<u>Cmpd No</u>	<u>Empirical Formula</u>	<u>Melting Point/Physical State</u>
306	C ₁₉ H ₂₄ CINO ₂	SOLID
307	C ₁₉ H ₂₃ Cl ₂ NO ₂	LIQUID
308	C ₁₉ H ₂₂ Cl ₃ NO ₂	SOLID
309	C ₁₉ H ₂₃ Cl ₂ NO ₂	LIQUID
310	C ₁₉ H ₂₂ Cl ₃ NO ₂	LIQUID
311	C ₁₉ H ₂₃ Cl ₂ NO ₂	LIQUID
312	C ₁₉ H ₂₃ Cl ₂ NO ₂	LIQUID
313	C ₁₉ H ₂₃ Cl ₂ NO ₂	SOLID
314	C ₁₉ H ₂₃ Cl ₂ NO ₂	SEMI-SOLID
315	C ₁₉ H ₂₃ BrCINO ₂	SOLID
316	C ₁₉ H ₂₃ BrCINO ₂	LIQUID
317	C ₂₀ H ₂₆ CINO ₂	LIQUID
318	C ₂₃ H ₃₃ NO ₂	LIQUID
319	C ₂₃ H ₃₃ NO ₂	SOLID
320	C ₂₃ H ₃₃ NO ₂	LIQUID
321	C ₂₂ H ₃₁ NO ₂	LIQUID
322	C ₂₂ H ₃₁ NO ₂	SOLID
323	C ₂₀ H ₂₇ NO ₃	SOLID
324	C ₂₀ H ₂₄ F ₃ NO ₃	SOLID
325	C ₂₀ H ₂₄ N ₂ O ₂	LIQUID
326	C ₂₀ H ₂₄ N ₂ O ₂	LIQUID
327	C ₂₁ H ₂₈ N ₂ O ₃	SOLID
328	C ₂₂ H ₂₉ NO ₄	SOLID
329	C ₂₁ H ₂₇ NO ₃	LIQUID
330	C ₂₂ H ₂₉ NO ₄	LIQUID
331	C ₂₂ H ₂₉ NO ₄	SOLID
332	C ₂₀ H ₂₆ CINO ₂	LIQUID
333	C ₂₀ H ₂₆ CINO ₂	SOLID
334	C ₁₉ H ₂₃ CIN ₂ O ₄	LIQUID
335	C ₂₂ H ₂₅ CIN ₂ O ₃	LIQUID
336	C ₂₃ H ₂₇ CIN ₂ O ₃	LIQUID
337	C ₂₁ H ₂₉ NO ₂	LIQUID
338	C ₂₂ H ₃₁ NO ₂	SOLID
339	C ₂₂ H ₃₁ NO ₂	SOLID
340	C ₂₁ H ₂₉ NO ₃	LIQUID
341	C ₁₉ H ₂₃ Br ₂ NO ₂	SOLID
342	C ₁₉ H ₂₃ Br ₂ NO ₂	LIQUID
343	C ₂₀ H ₂₆ BrNO ₂	LIQUID
344	C ₂₀ H ₂₅ Br ₂ NO ₂	SOLID
345	C ₁₉ H ₂₃ F ₂ NO ₂	SOLID
346	C ₁₉ H ₂₃ F ₂ NO ₂	LIQUID
347	C ₁₉ H ₂₃ F ₂ NO ₂	LIQUID
348	C ₁₉ H ₂₃ F ₂ NO ₂	LIQUID

97
Table 2 (continued)

<u>Cmpd No</u>	<u>Empirical Formula</u>	<u>Melting Point/Physical State</u>
349	C ₁₉ H ₂₃ F ₂ NO ₂	LIQUID
350	C ₁₉ H ₂₂ F ₃ NO ₂	LIQUID
351	C ₂₀ H ₂₄ F ₃ NO ₂	LIQUID
352	C ₂₁ H ₂₃ F ₆ NO ₂	LIQUID
353	C ₂₃ H ₂₄ ClN ₂ O	SOLID
354	C ₂₃ H ₂₄ Cl ₂ N ₂ O	SOLID
355	C ₂₃ H ₂₄ Cl ₂ N ₂ O	SOLID
356	C ₂₆ H ₂₈ Cl ₂ N ₂ O ₃	SOLID
357	C ₂₃ H ₂₄ BrClN ₂ O	150-151 °C
358	C ₂₇ H ₃₀ Cl ₂ N ₂ O	142-145 °C
359	C ₂₆ H ₂₈ Cl ₂ N ₂ O	131-133 °C
360	C ₂₃ H ₂₂ Cl ₂ N ₂ O	135-137 °C
361	C ₂₅ H ₂₈ Cl ₂ N ₂ O	SOLID
363	C ₂₄ H ₂₆ Cl ₂ N ₂ O	SOLID
364	C ₂₅ H ₂₈ Cl ₂ N ₂ O	SOLID
365	C ₂₈ H ₂₅ Cl ₃ N ₂ O	SOLID
366	C ₂₁ H ₂₀ Cl ₂ N ₂ O	SOLID
267	C ₂₂ H ₂₂ Cl ₂ N ₂ O	SOLID
368	C ₂₄ H ₂₆ Cl ₂ N ₂ O	SOLID
369	C ₂₄ H ₂₆ Cl ₂ N ₂ O	SOLID
370	C ₂₃ H ₂₃ Cl ₂ FN ₂ O	SOLID
371	C ₂₇ H ₂₄ Cl ₂ N ₂ O	SOLID
372	C ₂₄ H ₂₇ Cl ₂ NO ₂	OIL
373	C ₂₇ H ₃₁ Cl ₂ NO ₂	OIL
374	C ₂₃ H ₂₄ ClNO ₂	SEMI-SOLID
375	C ₂₄ H ₂₆ ClNO ₂	OIL
376	C ₂₄ H ₂₅ Cl ₂ NO ₂	OIL
377	C ₂₅ H ₂₇ Cl ₂ NO ₂	OIL
378	C ₂₃ H ₂₃ Cl ₂ NO ₂	SOLID
379	C ₂₄ H ₂₈ ClNO ₂	SOLID

Table 3

Insecticidal Activity of 1,4-Disubstituted Benzenes
Incorporated into the Diet (SRTD) of Tobacco Budworm

5

<u>Cmpd No.</u>	<u>Rate of Application¹</u>	<u>Percent Growth Inhibition²</u>	<u>Percent Mortality³</u>
8	4.6	11	---
10	4.6	35	---
20	5.6	12	---
21	5.6	20	---
47	4.6	23	---
49	4.6	16	---
66	4.6	9	---
68	5.6	16	---
72	5.6	23	---
73	5.6	24	---
	5.6	20	---
77	5.6	17	---
78	5.6	12	---
	5.6	0	---
79	6.6	-4	---
80	5.6	12	---
82	5.6	12	---
84	6.6	-20	---
	6.6	34	---
	5.6	11	---
85	6.6	20	---
	5.6	15	---
87	6.6	-2	---
88	5.6	1	---
89	5.6	12	---
93	6.6	3	---
94	4.6	18	---
99	6.6	6	---
100	6.6	6	---
101	6.6	14	---
102	6.6	7	---
103	5.6	25	---
104	5.6	21	---
105	4.6	24	---
106	6.6	35	---
107	6.6	17	---
111	5.6	1	---
112	5.6	26	---
113	5.6	-3	---
114	5.6	0	---
117	5.6	10	---

99
Table 3 (continued)

<u>Cmpd No.</u>	<u>Rate of Application¹</u>	<u>Percent Growth Inhibition²</u>	<u>Percent Mortality³</u>
118	4.6	6	---
121	4.6	12	---
122	5.6	23	---
123	5.6	30	---
124	5.6	20	---
125	5.6	18	---
126	6.6	14	---
130	6.6	17	---
131	6.6	25	---
132	5.6	27	---
133	4.6	28	---
134	4.6	12	---
135	5.6	24	---
136	4.6	33	---
137	4.6	28	---
138	4.6	27	---
139	4.6	26	---
140	5.6	32	---
141	6.6	24	---
142	6.6	32	---
143	4.6	22	---
144	4.6	20	---
145	5.6	29	---
146	5.6	25	---
147	5.6	33	---
148	5.6	4	---
149	5.6	22	---
150	5.6	12	---
151	5.6	5	---
152	4.6	16	---
153	4.6	19	---
154	6.6	27	---
161	5.6	23	---
163	5.6	24	---
166	5.6	24	---
181	6.6	43	---
183	6.6	28	---
	6.6	18	---
184	5.6	43	---
187	4.6	14	---
188	6.6	1	---
	6.6	19	---
	6.6	-1	---
190	5.6	4	---
191	6.6	6	---
192	6.6	2	---
193	6.6	4	---

100
Table 3 (continued)

<u>Cmpd No.</u>	<u>Rate of Application¹</u>	<u>Percent Growth Inhibition²</u>	<u>Percent Mortality³</u>
194	6.6	19	---
195	5.6	30	---
196	5.6	20	---
197	4.6	43	---
198	5.6	21	---
199	5.6	9	---
200	5.6	19	---
201	5.6	13	---
202	5.6	20	---
203	6.6	14	---
206	6.6	12	---
207	6.6	20	---
209	6.6	17	---
213	4.6	3	---
214	6.6	18	---
215	6.6	8	---
216	6.6	2	---
	6.6	1	---
	6.6	1	---
	6.6	14	---
217	6.6	26	---
	6.6	34	---
218	6.6	28	---
219	6.6	16	---
220	6.6	28	---
221	6.6	13	---
222	6.6	24	---
223	6.6	63	---
	7.6	3	---
	7.6	17	---
224	6.6	81	---
	6.6	20	---
	6.6	32	---
226	6.6	59	---
227	4.6	7	---
228	6.6	17	---
	6.6	5	---
	6.6	0	---
229	6.6	14	---
230	6.6	12	---
231	5.6	3	---
232	6.6	25	---
	6.6	1	---
233	6.6	17	---
234	5.6	-3	---
236	4.6	14	---
237	5.6	12	---

101
Table 3 (continued)

<u>Cmpd No.</u>	<u>Rate of Application¹</u>	<u>Percent Growth Inhibition²</u>	<u>Percent Mortality³</u>
238	6.6	22	---
239	5.6	5	---
241	5.6	8	---
242	6.6	11	---
243	6.6	10	---
262	4.6	7	---
263	5.6	26	---
264	6.6	19	---
267	4.6	7	---
273	5.6	5	---
290	5.6	1	---
306	4.6	-2	---
308	5.6	18	---
313	4.6	37	---
350	4.6	21	---
353	3.6	100	100
	4.6	100	67
	5.6	45	---
	6.6	21	---
354	3.6	100	100
	4.6	100	100
	5.6	96	17
	6.6	-2	---
355	3.6	100	100
	4.6	100	100
	5.6	96	17
	6.6	-2	---
356	3.6	2	---
	4.6	-4	---
357	3.6	100	100
	4.6	50	99
	5.6	---	15
360	3.6	73	---
	4.6	11	---
364	4.6	83	---
	5.6	-1	---
365	3.6	28	---
	4.6	18	---
366	3.6	82	---
	4.6	47	---
	5.6	3	---
367	3.6	100	100
	4.6	100	100
	5.6	98	33
	6.6	25	---
368	3.6	100	100
	4.6	100	100

102
Table 3 (continued)

<u>Cmpd No.</u>	<u>Rate of Application¹</u>	<u>Percent Growth Inhibition²</u>	<u>Percent Mortality³</u>
369	5.6	102	50
	6.6	36	---
	3.6	100	100
	4.6	100	100
	5.6	100	83
372	6.6	28	---
	3.6	100	100
	4.6	100	100
	5.6	100	100
	6.6	42	---
373	3.6	100	100
	4.6	97	17
	5.6	37	---
	6.6	-1	---
	3.6	100	100
374	4.6	98	50
	5.6	41	---
	6.6	-1	---
	3.6	101	100
	4.6	85	17
375	5.6	23	---
	6.6	22	---
	3.6	100	100
	4.6	99	67
	5.6	59	---
376	6.6	4	---
	3.6	100	100
	4.6	100	100
	5.6	89	---
	6.6	22	---
377	3.6	100	100
	4.6	99	67
	5.6	59	---
	6.6	4	---
	3.6	100	100
378	4.6	100	100
	5.6	101	83
	6.6	48	---
	3.6	86	33
	4.6	11	---

FOOTNOTES

¹ The rate of application is expressed as the negative log of the molar concentration of the test compound in the diet.

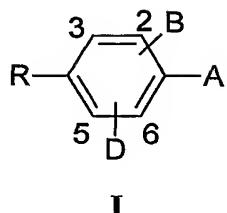
² Percent growth inhibition is derived from the total weight of the insects (IW) at each rate of application in the test relative to the total weight of insects in an untreated control, % Gr. Inh. = [IW (control) - I (test)/IW (control)] x 100.

³ Percent mortality is derived from the number of dead insects (TD) relative to the total number of insects (TI) used in the test,

$$\text{% Mortality} = \frac{\text{TD}}{\text{TI}} \times 100$$

WE CLAIM:

1. A compound of formula I:

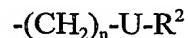


5

wherein:

A is selected from the group consisting of hydrogen; aryl; alkylheterocyclyl; alkenylaminopolycyclyl; alkenylaminoheterocyclyl; alkylaminopolycyclyl; carbonylaminopolycyclyl; where the aryl, heterocyclyl and polycyclyl moieties are optionally substituted with one or more of the following: halogen, cyano, nitro, amino, carboxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, carbonyl, alkylcarbonyl, haloalkylcarbonyl, alkoxy carbonyl, haloalkoxycarbonyl, or aryl; and Formula III, where Formula III is

15

**III**

wherein

n is 0 or 1;

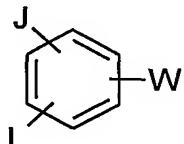
20 U is selected from the group consisting of $-\text{CH}_2-$, $-\text{O}-\text{CH}_2-$, oxygen, sulfur, sulfonyl, alkyl, oxyalkyloxy, alkenylamino, cabonylamino and $-\text{NR}^5$, where R^5 is selected from the group consisting of hydrogen, hydroxy, alkyl, haloalkyl, sulfonylalkyl, cabonylamino, and carbonylalkyl;

R^2 is selected from aryl; alkylpolycyclyl; heterocyclyl; polycyclyl; where the aryl, heterocyclyl and polycyclyl moieties are optionally substituted with one or more of the following: halogen, cyano, nitro, amino, carboxyl, alkyl,

25

haloalkyl, alkoxy, haloalkoxy, carbonyl, alkylcarbonyl, haloalkylcarbonyl, alkoxycarbonyl, haloalkoxycarbonyl, or aryl; 1-R³; 1-R⁴; and 2-R⁴, wherein:
R³ is

5

**R³**

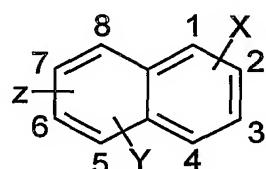
10

where J, L, and W are independently selected from the group consisting of hydrogen, halogen, cyano, nitro, amino, carboxyl, alkyl, haloalkyl, alkenyl, alkoxy, haloalkoxy, aminoalkoxy, nitrilyl, carbonyl, alkylcarbonyl, haloalkylcarbonyl, alkoxycarbonyl, haloalkoxycarbonyl, aryl, aryloxy, and heterocyclyl, where the aryl and heterocyclyl moieties may be optionally substituted with halogen, alkyl, haloalkyl, alkoxy, or haloalkoxy;

15

R⁴ is

20

**R⁴**

25

where X, Y, and Z are independently selected from the group consisting of hydrogen, halogen, cyano, nitro, amino, azido, carboxyl, alkyl, alkynyl, haloalkyl, haloalkylthio, nitrilyl, alkenyl, alkoxy, haloalkoxy, carbonyl, alkylcarbonyl, haloalkylcarbonyl, alkoxycarbonyl, haloalkoxycarbonyl, phenyl, aryl, aryloxy, and heterocyclyl, where the phenyl, aryl, and heterocyclyl moieties may be optionally substituted with halogen, haloalkyl, haloalkyl, alkoxy, or haloalkoxy;

B and D are independently selected from hydrogen, halogen, alkyl, haloalkyl, alkoxy, haloalkoxy, alkoxyaminoalkyl, 2-(Formula III), 3-(Formula III), 5-(Formula III), and 6-(Formula III), wherein Formula III, n, U, R², R³, R⁴, R⁵, J, L, W, X, Y, and Z are as defined above;

5 R is -T-(CH₂)_m-R¹, -N(R⁶)(R⁷) or heterocyclyl, where

the heterocyclyl moiety may be optionally substituted with halogen, hydroxy, alkyl, haloalkyl, alkoxy, haloalkoxy, alkoxycarbonyl, aryl, alkylaza, arylcarbonyl, benzyl, allyl, propargyl, alkylamino; where the aryl moiety may be optionally substituted with halogen, hydroxy, alkyl,

10 haloalkyl, alkoxy, haloalkoxy, alkoxycarbonyl, aryl, arylcarbonyl;

T is selected from the group consisting of -CH₂-, carbonyl, oxygen, nitrogen, and sulfur;

m is 0, 1, 2, 3, or 4;

R¹ is selected from the group consisting of -N(R⁸)(R⁹); alkyl; aryl; -C(O)N(R¹²)(R¹³); oxyalkyl; haloalkyl; heterocyclyl; cycloalkyl; -N(O)(R¹⁴)(R¹⁵); -P(O)(R¹⁴)(R¹⁵); -P(S)(R¹⁴)(R¹⁵); alkylamino, where the aryl and heterocyclyl moieties may be optionally substituted with halogen, hydroxy, alkyl, haloalkyl, alkoxy, haloalkoxy, alkoxycarbonyl, aryl, arylcarbonyl; where

20 R⁶, R⁷, R⁸, R⁹, R¹², R¹³, R¹⁴ and R¹⁵ are independently selected from the group consisting of hydrogen, alkyl, alkoxy, alkylthio, acetyl, alkoxycarbonyl, alkoxyalkyl, aminoalkyl, carbonylamino, and -(CH₂)_p-N(R¹⁶)(R¹⁷), where

p is 1 or 2;

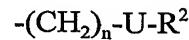
25 R¹⁶ and R¹⁷ are independently selected from the group consisting of hydrogen, alkyl, alkoxy, alkoxyalkyl, and aminoalkyl; and the corresponding agriculturally acceptable salts thereof.

2. A compound of claim 1 wherein

A is selected from the group consisting of hydrogen; alkylaminopolycyclyl; 30 carbonylaminopolycyclyl; where the polycyclyl moieties are optionally substituted

with one or more of the following: halogen, cyano, nitro, amino, carboxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, carbonyl, alkylcarbonyl, haloalkylcarbonyl, alkoxycarbonyl, haloalkoxycarbonyl, or aryl; and Formula III, where Formula III is

5



III

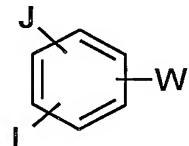
wherein

n is 0 or 1;

10 U is selected from the group consisting of -CH₂-, oxygen, and -NR⁵, where R⁵ is selected from the group consisting of hydrogen, hydroxy, alkyl, sulfonylalkyl, carbonylamino, and carbonylalkyl;

R² is selected from aryl, alkylpolycyclyl; heterocyclyl; polycyclyl; where the aryl, heterocyclyl and polycyclyl moieties are optionally substituted with one or more of the following: halogen, cyano, nitro, amino, carboxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, carbonyl, alkylcarbonyl, haloalkylcarbonyl, alkoxycarbonyl, haloalkoxycarbonyl, or aryl; and 1-R³, wherein R³ is:

15



R³

20 where J, L, and W are independently selected from the group consisting of hydrogen, halogen, cyano, nitro, amino, carboxyl, alkyl, haloalkyl, alkenyl, alkoxy, haloalkoxy, nitrilyl, carbonyl, alkylcarbonyl, haloalkylcarbonyl, alkoxycarbonyl, haloalkoxycarbonyl, aryl, and aryloxy, where the aryl moieties may be optionally substituted with halogen, alkyl, haloalkyl, alkoxy, or haloalkoxy;

25

B and D are independently selected from hydrogen, halogen, alkyl, haloalkyl, alkoxy, haloalkoxy, alkoxyaminoalkyl;

R is $-T-(CH_2)_m-R^1$, where

T is selected from the group consisting of $-CH_2-$, oxygen, nitrogen, and sulfur;

m is 1, 2, 3, or 4;

5 R¹ is $-N(R^8)(R^9)$; where

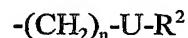
R⁸ and R⁹ are independently selected from the group consisting of hydrogen, alkyl, alkoxy, acetyl, alkoxy carbonyl, alkoxy alkyl, amino alkyl, carbonyl amino, and $-(CH_2)_p-N(R^{16})(R^{17})$, where

p is 1 or 2;

10 R¹⁶ and R¹⁷ are independently selected from the group consisting of hydrogen, alkyl, alkoxy, alkoxy alkyl, and amino alkyl; and the corresponding agriculturally acceptable salts thereof.

3. A compound of claim 1 wherein

15 A is selected from the group consisting of hydrogen; alkylaminopolycyclyl; and carbonylaminopolycyclyl; where the polycyclyl moieties are optionally substituted with one or more of the following: halogen, cyano, nitro, amino, carboxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, carbonyl, alkyl carbonyl, haloalkyl carbonyl, alkoxy carbonyl, haloalkoxy carbonyl, or aryl; and Formula III, where Formula III
20 is



III

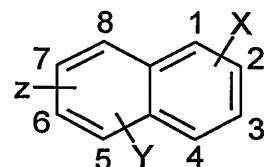
wherein

25 n is 0 or 1;

U is selected from the group consisting of $-CH_2-$, oxygen, alkyl, oxyalkyloxy, alkenyl amino, carbonyl amino and $-NR^5$, where R⁵ is selected from the group consisting of hydrogen, hydroxy, alkyl, sulfonylalkyl, carbonyl amino, and carbonylalkyl;

5

R^2 is selected from aryl; alkylpolycyclyl; heterocyclyl; polycyclyl; where the aryl, heterocyclyl and polycyclyl moieties are optionally substituted with one or more of the following: halogen, cyano, nitro, amino, carboxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, carbonyl, alkylcarbonyl, haloalkylcarbonyl, alkoxycarbonyl, haloalkoxycarbonyl, or aryl; and 1- R^4 , wherein R^4 is

 R^4

10

15

where X , Y , and Z are independently selected from the group consisting of hydrogen, halogen, cyano, nitro, amino, azido, carboxyl, alkyl, alkynyl, haloalkyl, haloalkylthio, nitrilyl, alkenyl, alkoxy, haloalkoxy, carbonyl, alkylcarbonyl, haloalkylcarbonyl, alkoxycarbonyl, haloalkoxycarbonyl, phenyl, aryl, and aryloxy, where the phenyl and aryl moieties may be optionally substituted with halogen, haloalkyl, haloalkyl, alkoxy, or haloalkoxy;

B and D are independently selected from hydrogen, halogen, alkyl, haloalkyl, alkoxy, haloalkoxy, and alkoxyaminoalkyl;

R is $-T-(CH_2)_m-R^1$ or heterocyclyl, where

the heterocyclyl moiety may be optionally substituted with halogen, alkyl, haloalkyl, alkoxy, haloalkoxy, alkoxycarbonyl, aryl, arylcarbonyl, benzyl, allyl, propargyl;

T is selected from the group consisting of $-CH_2-$, oxygen, nitrogen, and sulfur;

m is 1, 2, 3, or 4;

25 R^1 is selected from the group consisting of $-N(R^8)(R^9)$; alkyl; aryl; $-C(O)N(R^{12})(R^{13})$; oxyalkyl; haloalkyl; heterocyclyl; cycloalkyl; and $-N(O)(R^{14})(R^{15})$, where the aryl and heterocyclyl moieties may be optionally

substituted with halogen, alkyl, haloalkyl, alkoxy, haloalkoxy, alkoxycarbonyl, aryl, arylcarbonyl; where

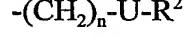
R⁸, R⁹, R¹², R¹³, R¹⁴ and R¹⁵ are independently selected from the group consisting of hydrogen, alkyl, alkoxy, acetyl, alkoxycarbonyl, alkoxyalkyl, aminoalkyl, carbonylamino, and -
 5 (CH₂)_p-N(R¹⁶)(R¹⁷), where

p is 1 or 2;

R¹⁶ and R¹⁷ are independently selected from the group consisting of hydrogen, alkyl, alkoxy, alkoxyalkyl, and aminoalkyl;
 10 and the corresponding agriculturally acceptable salts thereof.

4. A compound of claim 3 wherein

A is hydrogen or Formula III, where Formula III is



15

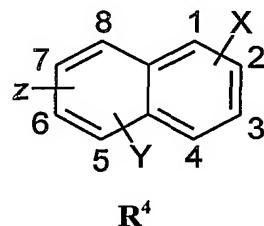
III

wherein

n is 0 or 1;

U is selected from the group consisting of -CH₂-, oxygen, and -NR⁵, where R⁵ is
 20 selected from the group consisting of hydrogen, hydroxy, alkyl, sulfonylalkyl, carbonylamino, and carbonylalkyl;

R² is selected from alkylpolycyclyl; heterocyclyl; polycyclyl; where the heterocyclyl and polycyclyl moieties are optionally substituted with one or more of the following: halogen, cyano, nitro, amino, carboxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, carbonyl, alkylcarbonyl, haloalkylcarbonyl, alkoxycarbonyl, haloalkoxycarbonyl, or aryl; and 1-R⁴, wherein R⁴ is
 25



where X, Y, and Z are independently selected from the group consisting of hydrogen, halogen, cyano, nitro, amino, azido, carboxyl, alkyl, alkynyl, haloalkyl, haloalkylthio, nitrilyl, alkenyl, alkoxy, haloalkoxy, carbonyl, alkylcarbonyl, haloalkylcarbonyl, alkoxycarbonyl, haloalkoxycarbonyl, phenyl, aryl, and aryloxy, where the phenyl and aryl moieties may be optionally substituted with halogen, haloalkyl, haloalkyl, alkoxy, or haloalkoxy;

5 B and D are independently selected from hydrogen, halogen, alkyl, haloalkyl, alkoxy, haloalkoxy, and alkoxyaminoalkyl;

10 R is -T-(CH₂)_m-R¹ or heterocyclyl, where the heterocyclyl moiety may be optionally substituted with halogen, alkyl, haloalkyl, alkoxy, haloalkoxy, alkoxycarbonyl, aryl, arylcarbonyl, benzyl, allyl, propargyl;

T is selected from the group consisting of oxygen, nitrogen, and sulfur;

15 m is 1, 2, 3, or 4;

R¹ is selected from the group consisting of -N(R⁸)(R⁹); alkyl; aryl; -C(O)N(R¹²)(R¹³); oxyalkyl; haloalkyl; heterocyclyl; cycloalkyl; and -N(O)(R¹⁴)(R¹⁵), where the aryl and heterocyclyl moieties may be optionally substituted with halogen, alkyl, haloalkyl, alkoxy, haloalkoxy, alkoxycarbonyl, aryl, arylcarbonyl; where

20 R⁸, R⁹, R¹², R¹³, R¹⁴ and R¹⁵ are independently selected from the group consisting of hydrogen, alkyl, alkoxy, acetyl, alkoxycarbonyl, alkoxyalkyl, aminoalkyl, carbonylamino, and -(CH₂)_p-N(R¹⁶)(R¹⁷), where

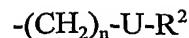
25 p is 1 or 2;

R¹⁶ and R¹⁷ are independently selected from the group consisting of hydrogen, alkyl, alkoxy, alkoxyalkyl, and aminoalkyl;

and the corresponding agriculturally acceptable salts thereof.

30 5. A compound of claim 4 wherein

A is Formula III, where Formula III is



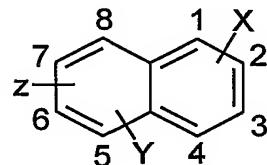
III

5 wherein

n is 1;

U is oxygen or -NR⁵, where R⁵ is selected from the group consisting of hydrogen, hydroxy, alkyl, sulfonylalkyl, carbonylamino, and carbonylalkyl; R² is 1-R⁴, wherein R⁴ is

10



R⁴

15

where X, Y, and Z are independently selected from the group consisting of hydrogen, halogen, cyano, nitro, amino, azido, carboxyl, alkyl, alkynyl, haloalkyl, haloalkylthio, nitrilyl, alkenyl, alkoxy, haloalkoxy, carbonyl, alkylcarbonyl, haloalkylcarbonyl, alkoxycarbonyl, haloalkoxycarbonyl, phenyl, aryl, and aryloxy, where the phenyl and aryl moieties may be optionally substituted with halogen, haloalkyl, haloalkyl, alkoxy, or haloalkoxy;

20

B and D are independently selected from hydrogen, halogen, alkyl, haloalkyl, alkoxy, haloalkoxy, and alkoxyaminoalkyl;

R is -T-(CH₂)_m-R¹ or heterocyclyl, where

the heterocyclyl moiety may be optionally substituted with halogen, alkyl, haloalkyl, alkoxy, haloalkoxy, alkoxycarbonyl, aryl, arylcarbonyl, benzyl, allyl, propargyl;

25

T is oxygen or nitrogen;

m is 1, 2, 3, or 4;

R¹ is selected from the group consisting of -N(R⁸)(R⁹); alkyl; aryl; -C(O)N(R¹²)(R¹³); oxyalkyl; haloalkyl; heterocycll; cycloalkyl; and -N(O)(R¹⁴)(R¹⁵), where the aryl and heterocycll moieties may be optionally substituted with halogen, alkyl, haloalkyl, alkoxy, haloalkoxy, alkoxycarbonyl, aryl, arylcarbonyl; where

R⁸, R⁹, R¹², R¹³, R¹⁴ and R¹⁵ are independently selected from the group consisting of hydrogen, alkyl, alkoxy, acetyl, alkoxycarbonyl, alkoxyalkyl, aminoalkyl, carbonylamino, and -(CH₂)_p-N(R¹⁶)(R¹⁷), where

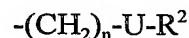
10 p is 1 or 2;

R¹⁶ and R¹⁷ are independently selected from the group consisting of hydrogen, alkyl, alkoxy, alkoxyalkyl, and aminoalkyl;

and the corresponding agriculturally acceptable salts thereof.

15 6. A compound of claim 5 wherein

A is Formula III, where Formula III is

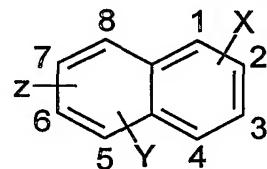


III

20 wherein

U is oxygen or -NR⁵, where R⁵ is hydrogen;

R² is 1-R⁴, wherein R⁴ is



25 R⁴

where X, Y, and Z are independently selected from the group consisting of hydrogen, halogen, cyano, nitro, amino, azido, carboxyl, alkyl, alkynyl, haloalkyl, haloalkylthio, nitrilyl, alkenyl,

alkoxy, haloalkoxy, carbonyl, alkylcarbonyl, haloalkylcarbonyl, alkoxy carbonyl, haloalkoxycarbonyl, phenyl, aryl, and aryloxy, where the phenyl and aryl moieties may be optionally substituted with halogen, haloalkyl, haloalkyl, alkoxy, or haloalkoxy;

5 B and D are independently selected from hydrogen, halogen, alkyl, haloalkyl, alkoxy, haloalkoxy, and alkoxyaminoalkyl;

R is -T-(CH₂)_m-R¹ or heterocyclyl; where

the heterocyclyl moiety may be optionally substituted with halogen, alkyl, haloalkyl, alkoxy, haloalkoxy, alkoxy carbonyl, aryl, arylcarbonyl, benzyl, allyl, propargyl;

T is oxygen or nitrogen;

m is 2;

10 R¹ is -N(R⁸)(R⁹) or -N(O)(R¹⁴)(R¹⁵), where R⁸, R⁹, R¹⁴, and R¹⁵ are independently selected from the group consisting of hydrogen, alkyl, alkoxy, acetyl, alkoxy carbonyl, alkoxyalkyl, aminoalkyl, carbonylamino, and -
15 (CH₂)_p-N(R¹⁶)(R¹⁷), where

15 p is 1 or 2;

R¹⁶ and R¹⁷ are independently selected from the group consisting of hydrogen, alkyl, alkoxy, alkoxyalkyl, and
20 aminoalkyl;

and the corresponding agriculturally acceptable salts thereof.

7. A compound of claim 6 wherein

A is Formula III, where Formula III is

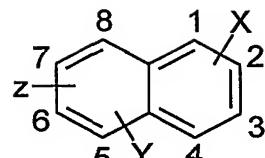
25 -(CH₂)_n-U-R²

III

wherein

U is O or -NR⁵, where R⁵ is hydrogen;

R² is selected from 1-R⁴, wherein R⁴ is

 \mathbf{R}^4

where X, Y, and Z are independently selected from the group consisting of hydrogen, halogen, cyano, nitro, amino, azido, carboxyl, alkyl, alkynyl, haloalkyl, haloalkylthio, nitrilyl, alkenyl, alkoxy, haloalkoxy, carbonyl, alkylcarbonyl, haloalkylcarbonyl, alkoxycarbonyl, haloalkoxycarbonyl, phenyl, aryl, and aryloxy, where the phenyl and aryl moieties may be optionally substituted with halogen, haloalkyl, haloalkyl, alkoxy, or haloalkoxy;

10 B and D are hydrogen;

R is $-T-(CH_2)_m-R^1$; where

T is oxygen;

R^1 is $-N(R^8)(R^9)$ or $-N(O)(R^{14})(R^{15})$, where R^8 , R^9 , R^{14} , and R^{15} are independently selected from the group consisting of hydrogen, alkyl, alkoxy, acetyl, alkoxycarbonyl, alkoxyalkyl, aminoalkyl, and carbonylamino;

15 and the corresponding agriculturally acceptable salts thereof.

8. A compound of claim 6 wherein

A is Formula III, where Formula III is

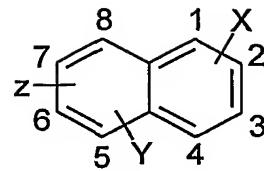
20 $-(CH_2)_n-U-R^2$

III

wherein

U is O;

R^2 is selected from 1- \mathbf{R}^4 , wherein \mathbf{R}^4 is

**R⁴**

where X, Y, and Z are independently selected from the group consisting of hydrogen, halogen, cyano, nitro, amino, azido, carboxyl, alkyl, alkynyl, haloalkyl, haloalkylthio, nitrilyl, alkenyl, alkoxy, haloalkoxy, carbonyl, alkylcarbonyl, haloalkylcarbonyl, alkoxycarbonyl, haloalkoxycarbonyl, phenyl, aryl, and aryloxy, where the phenyl and aryl moieties may be optionally substituted with halogen, haloalkyl, haloalkyl, alkoxy, or haloalkoxy;

5

10 B and D are hydrogen;

R is heterocyclyl; where

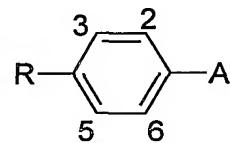
the heterocyclyl moiety may be optionally substituted with halogen, alkyl, haloalkyl, alkoxy, haloalkoxy, alkoxycarbonyl, aryl, arylcarbonyl, benzyl, allyl, propargyl;

15 and the corresponding agriculturally acceptable salts thereof.

9. A composition containing an insecticidally effective amount of a compound of claim 1 in admixture with at least one agriculturally acceptable extender or adjuvant.

10. A method of controlling insects that comprises applying to locus where control is desired an insecticidally effective amount of a composition of 20 claim 9.

11. A compound of formula XII:

**XII**

25

wherein:

A is $-(CH_2)_n-U-R^2$

wherein

n is 0 or 1;

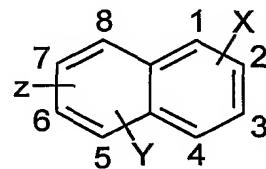
U is $-C(O)-$, $-CH_2-$, oxygen, or $-NR^5$, where R^5 is selected from the group

5 consisting of hydrogen, hydroxy, alkyl, sulfonylalkyl, carbonylamino, and carbonylalkyl;

R^2 is selected from hydrogen, halo, hydroxy, and $1-R^4$, wherein:

R^4 is

10



R^4

15

where X, Y, and Z are independently selected from the group consisting of hydrogen, halogen, cyano, nitro, amino, azido, carboxyl, alkyl, alkynyl, haloalkyl, haloalkylthio, nitrilyl, alkenyl, alkoxy, haloalkoxy, carbonyl, alkylcarbonyl, haloalkylcarbonyl, alcoxycarbonyl, haloalkoxycarbonyl, phenyl, aryl, and aryloxy, where the phenyl and aryl moieties may be optionally substituted with halogen, haloalkyl, haloalkyl, alkoxy, or haloalkoxy;

R is $-T-(CH_2)_m-R^1$, where

20

T is selected from the group consisting of oxygen, nitrogen, and sulfur;

m is 0, 1, 2, 3, or 4;

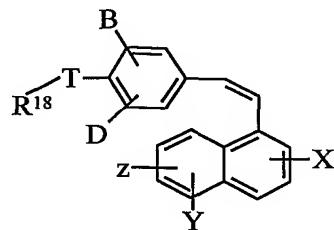
R^1 is hydrogen, halo, alkyl, or $-N(R^8)(R^9)$; where R^8 and R^9 are independently selected from the group consisting of hydrogen, alkyl, alkoxy, acetyl, alcoxycarbonyl, alkoxyalkyl, aminoalkyl, carbonylamino, and -

25 $(CH_2)_p-N(R^{16})(R^{17})$, where

p is 1 or 2;

R^{16} and R^{17} are independently selected from the group consisting of hydrogen, alkyl, alkoxy, alkoxyalkyl, and aminoalkyl.

12. A compound of formula UU:



5

UU

where X, Y, and Z are independently selected from the group consisting of hydrogen, halogen, cyano, nitro, amino, azido, carboxyl, alkyl, alkynyl, haloalkyl, haloalkylthio, nitrilyl, alkenyl, alkoxy, haloalkoxy, carbonyl, alkylcarbonyl, 10 haloalkylcarbonyl, alkoxycarbonyl, haloalkoxycarbonyl, phenyl, aryl, and aryloxy, where the phenyl and aryl moieties may be optionally substituted with halogen, haloalkyl, haloalkyl, alkoxy, or haloalkoxy; T is selected from the group consisting of oxygen, nitrogen, and sulfur; and R^{18} is alkyl.

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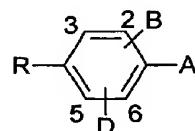
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12 June 2003

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: DISUBSTITUTED BENZENES AS INSECTICIDES



(I)

WO 02/017712 A3

(57) Abstract: Compounds of formula (I): wherein A, B, D, and R are as defined herein and their agriculturally acceptable salts are disclosed as effective insecticides. In addition, compositions comprising an insecticidally effective amount of a compound of Formula (I) in admixture with at least one agriculturally acceptable extender or adjuvant and methods of controlling insects comprising applying said compositions to locus on crops where control is desired are disclosed. It is emphasized that his abstract is provided to comply with the rules requiring an abstract that will allow a searcher or other reader to quickly ascertain the subject matter of the technical disclosure. It is submitted with the understanding that it will not be used to interpret or limit the scope or meaning of the claims (see 37 C.F.R. 1.72(b)).

INTERNATIONAL SEARCH REPORT

International Application No
PCT/US 01/26962

A. CLASSIFICATION OF SUBJECT MATTER

IPC 7	C07C217/58	A01N33/04	A01N43/42	C07D295/20	C07C251/24
	C07D209/46	C07C217/20	C07D215/44	C07D215/38	C07D215/40
	C07D215/22	C07D215/24	C07D217/22	C07D295/08	C07C235/56

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07C C07D A01N

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EPO-Internal, WPI Data, PAJ, BEILSTEIN Data, CHEM ABS Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category °	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	US 4 183 949 A (BRANDES WILHELM ET AL) 15 January 1980 (1980-01-15) column 1, line 1 -column 3, line 66 example 32 ---	1-10
A	EP 0 331 529 A (UBE INDUSTRIES ;RIKAGAKU KENKYUSHO (JP)) 6 September 1989 (1989-09-06) page 3, line 1 - line 30 tables 1A,,1B claim 1 ---	1-10
A	US 4 145 439 A (KRAUS PETER ET AL) 20 March 1979 (1979-03-20) column 1, line 1 -column 2, line 60 table 1 examples 6-8,10,12 table 2 ---	1-10 -/-

Further documents are listed in the continuation of box C.

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Date of the actual completion of the international search

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Date of mailing of the international search report

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Authorized officer

O'Sullivan, P

INTERNATIONAL SEARCH REPORT

International Application No
PCT/US 01/26962

A. CLASSIFICATION OF SUBJECT MATTER

IPC 7	C07C211/59	C07C233/43	C07C271/28	C07C275/34	C07C275/40
	C07C217/92				

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category ^a	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	US 3 987 102 A (KARRER FRIEDRICH) 19 October 1976 (1976-10-19) column 5, line 17 - line 37 table 1 ----	1-10
A	US 5 569 664 A (LYGA JOHN W ET AL) 29 October 1996 (1996-10-29) table 1 ---- ----	1-10 -/-

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- "O" document referring to an oral disclosure, use, exhibition or other means
- "P" document published prior to the international filing date but later than the priority date claimed

"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.

"&" document member of the same patent family

Date of the actual completion of the international search

13 January 2003

Date of mailing of the international search report

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INTERNATIONAL SEARCH REPORT

International Application No
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INTERNATIONAL SEARCH REPORT

International Application No

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C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

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INTERNATIONAL SEARCH REPORT

International application No.
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Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. Claims Nos.: because they relate to subject matter not required to be searched by this Authority, namely:

2. Claims Nos.: because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:
see FURTHER INFORMATION sheet PCT/ISA/210

3. Claims Nos.: because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

see additional sheet

1. As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.

2. As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.

3. As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:

4. No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

The additional search fees were accompanied by the applicant's protest.

No protest accompanied the payment of additional search fees.

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

Continuation of Box I.2

Present claims 1-12 relate to an extremely large number of possible compounds. Support within the meaning of Article 6 PCT and disclosure within the meaning of Article 5 PCT is to be found, however, for only a very small proportion of the compounds claimed. In the present case, the claims so lack support, and the application so lacks disclosure, that a meaningful search over the whole of the claimed scope is impossible. Consequently, the search has been carried out for those parts of the claims which appear to be supported and disclosed, namely the subject-matter of claim 5 with the following adjustments:

U is O, NR5, CH2

R is -T-(CH₂)_m-R1, heterocycle or N(R₆)(R₇)

R₂ is 1-R₄ as in claim 5 (naphth), or R₂ = other rings, restricted only to those of the examples.

Additionally the compound falling under the scope of the above restriction have been selected only insofar as they mention a corresponding use as an Insecticide.

The intermediate compounds of claims 11 and 12 are searched insofar as they lead to final products within the scope of the abovementioned restriction.

The applicant's attention is drawn to the fact that claims, or parts of claims, relating to inventions in respect of which no international search report has been established need not be the subject of an international preliminary examination (Rule 66.1(e) PCT). The applicant is advised that the EPO policy when acting as an International Preliminary Examining Authority is normally not to carry out a preliminary examination on matter which has not been searched. This is the case irrespective of whether or not the claims are amended following receipt of the search report or during any Chapter II procedure.

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

This International Searching Authority found multiple (groups of) inventions in this international application, as follows:

1. Claims: 1-10 partially

Compounds of the incomplete search restriction where R= -T-(CH₂)_m-R₁

2. Claims: 1-10 partially

Compounds of the incomplete restriction where R = N(R₆)(R₇)

3. Claims: 1-10 partially

Compounds of the incomplete restriction where R = heterocycle

4. Claims: 1-10 partially

The examples where R₂ of claim 5 is not a naphthalene or substituted naphthalene ring.

5. Claim : 11

Intermediates of claim 11 according to the incomplete restriction

6. Claim : 12

Intermediates of claim 12 according to the incomplete restriction

INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/US 01/26962

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